1/40

Fig. 1

HPLC Profile
of PTI-777
(Batch II)

Fig. 2

HPLC Profile of PTI-777 (Batch I)

Fig. 3

Treatment Groups
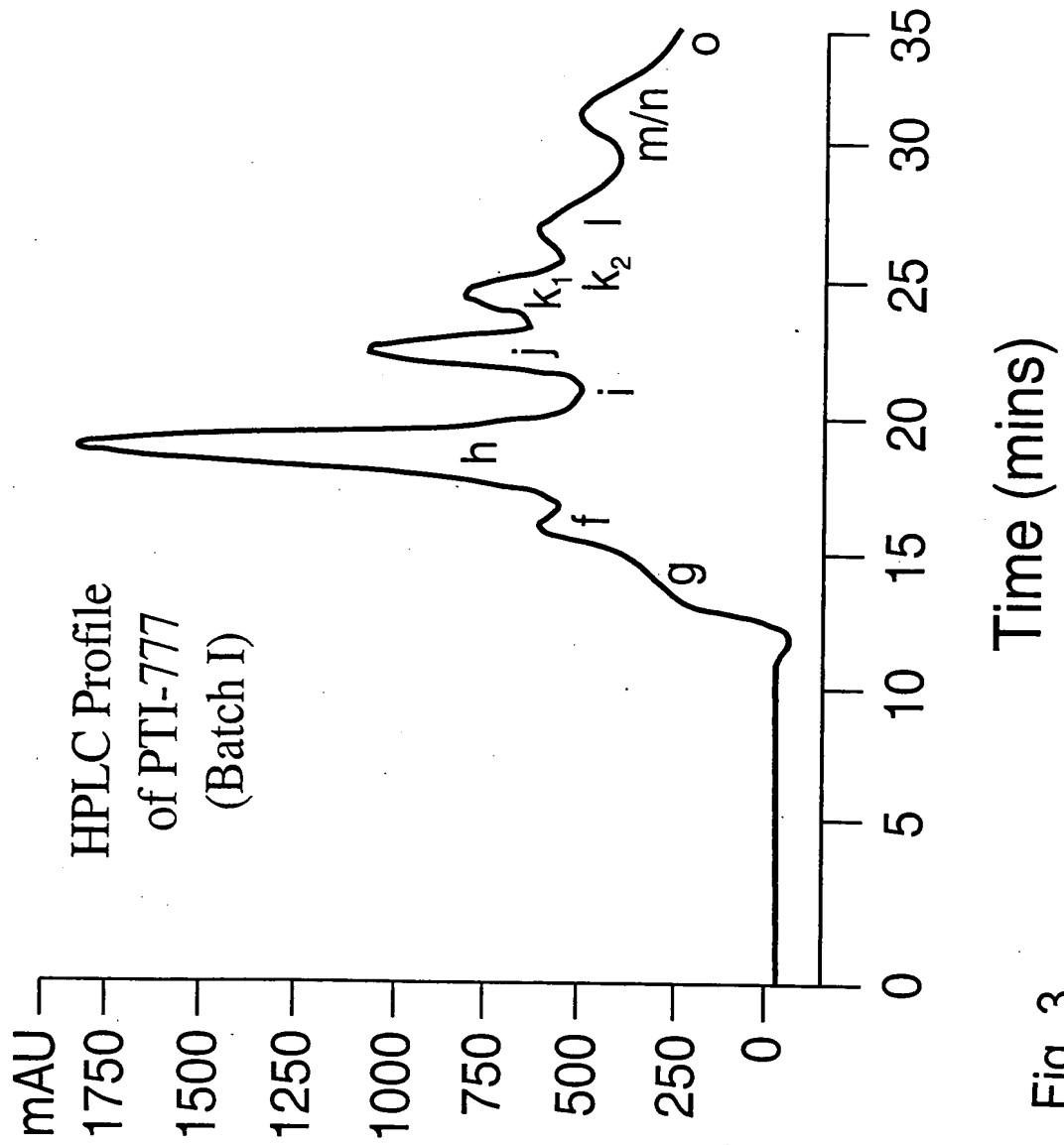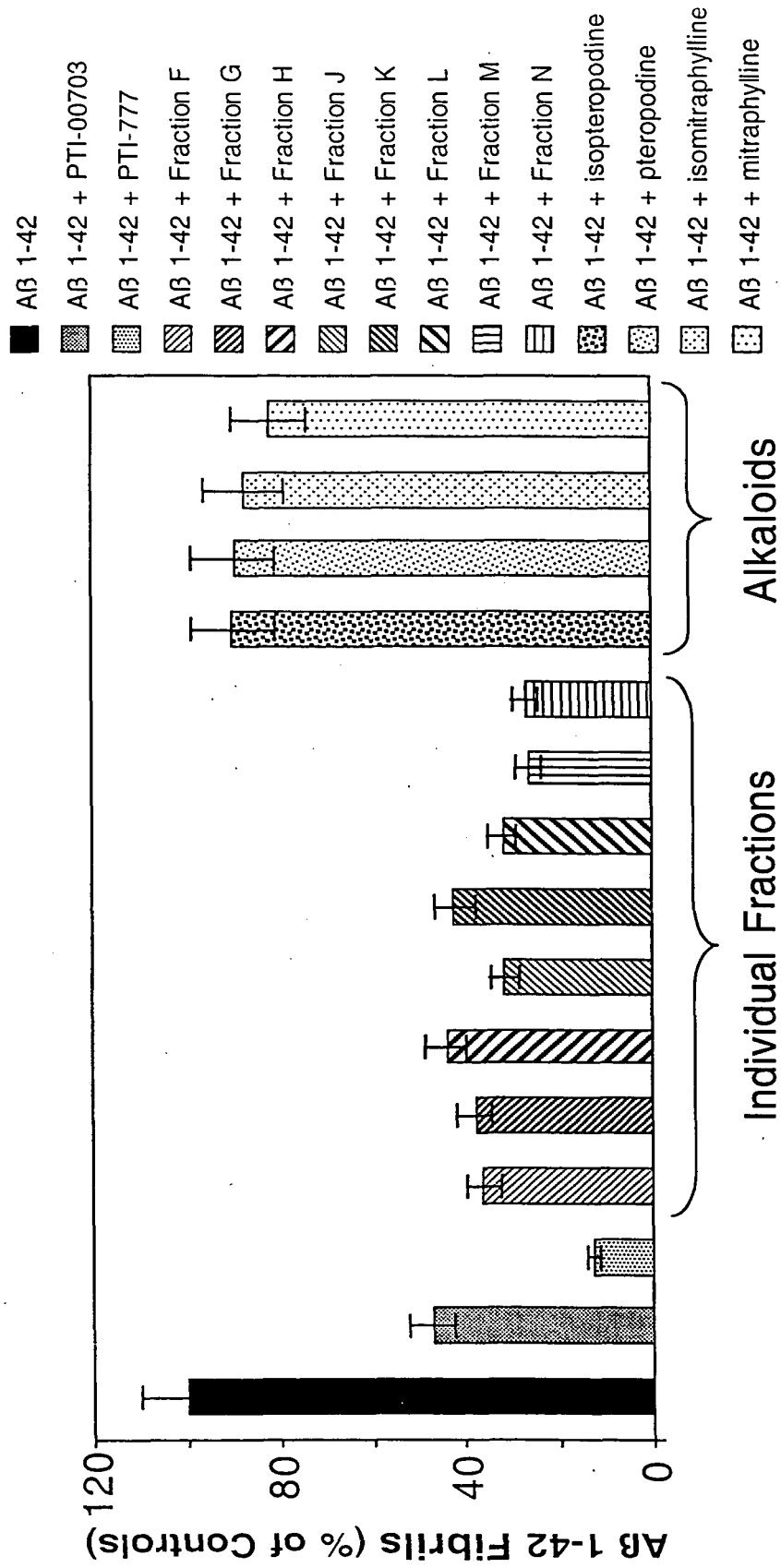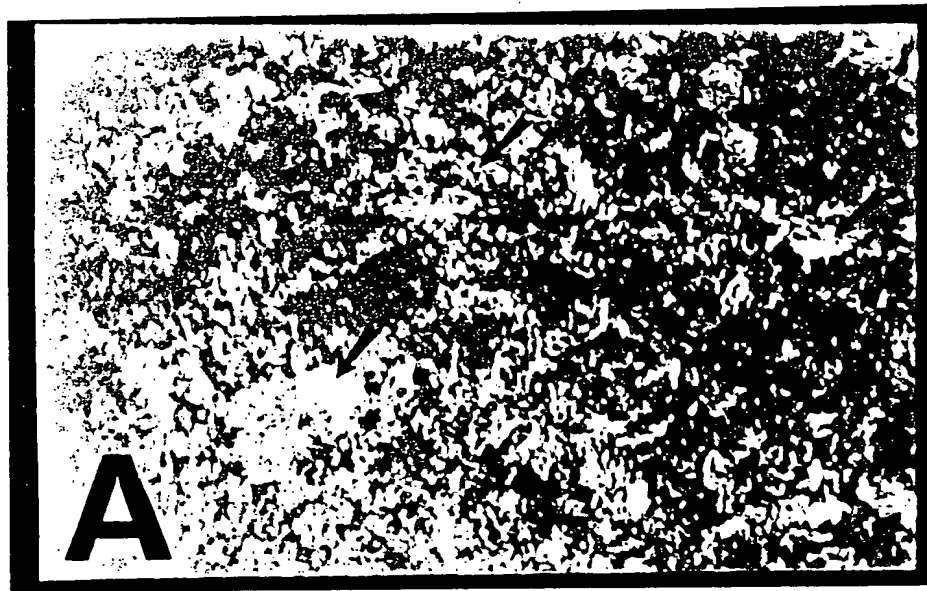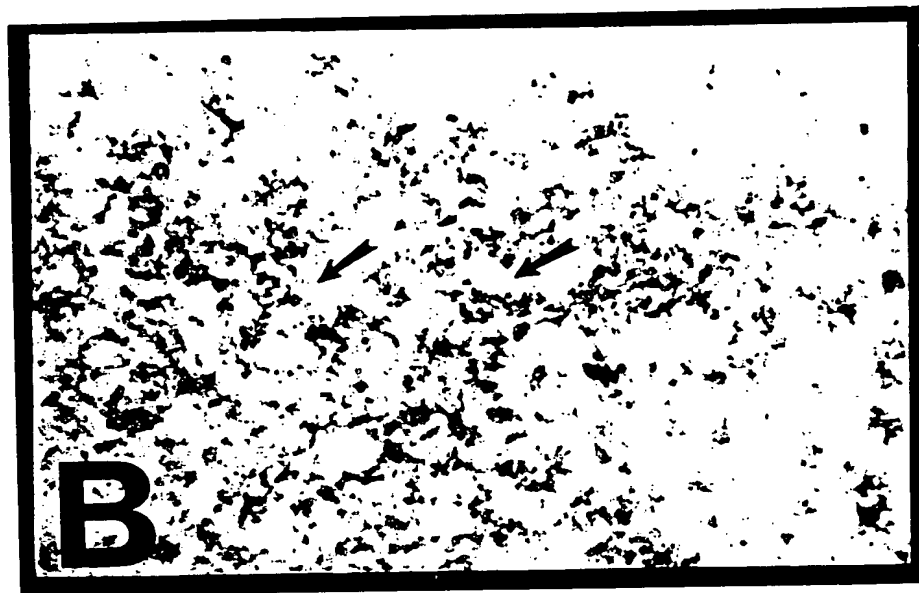
Fig. 4

Fig. 5A

Fig. 5B

Fig. 5C

Fig. 5D

Fig. 6

Legend (from figure):
- ● Abeta1-42, 3 day, in PBS buffer
- ○ Abeta1-42 + PTC12 (1:0.1 (wt/wt)), 3 day incubation
- ▼ Abeta1-42 + PTC12 (1:0.1 (wt/wt)), 7 day incubation
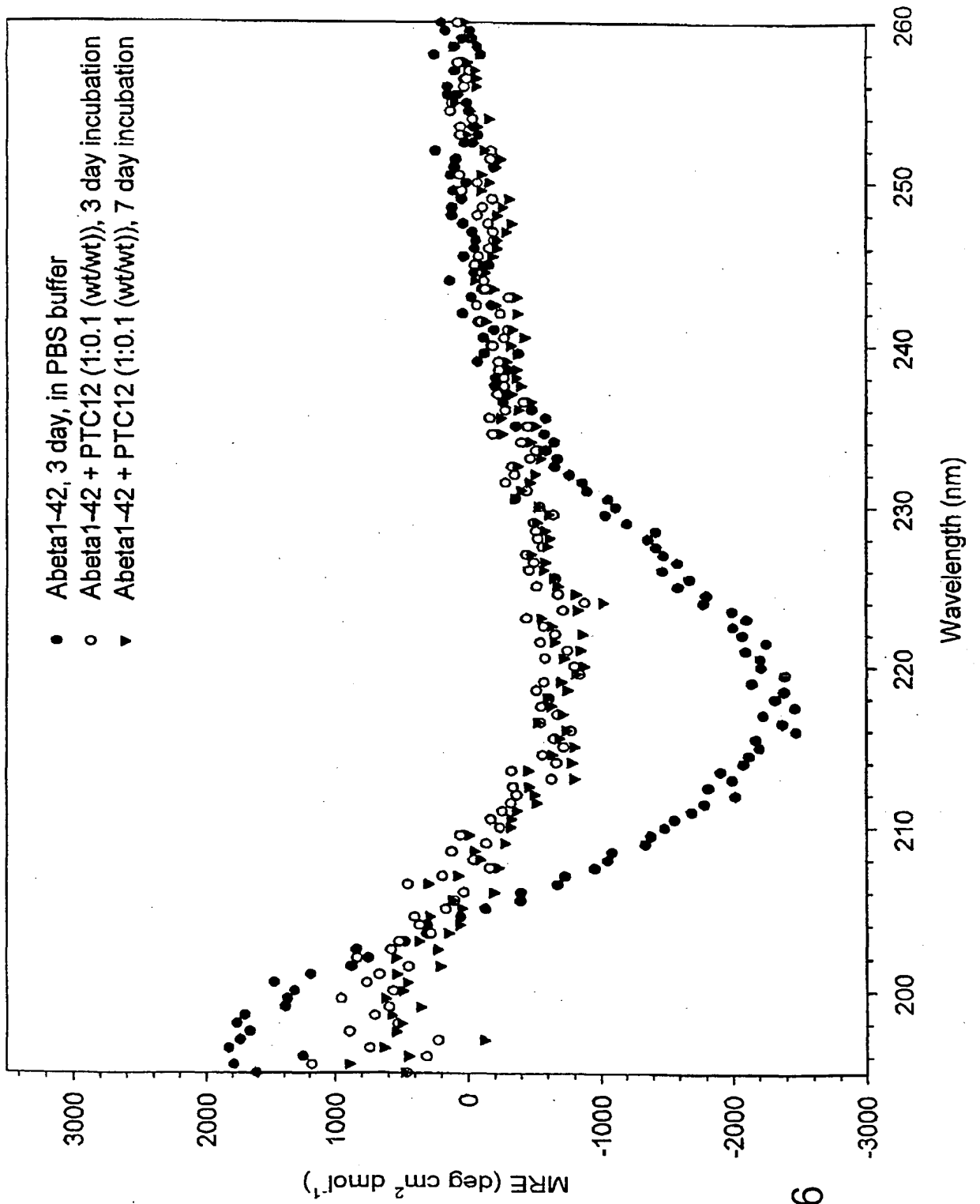
X-axis: Wavelength (nm)
Y-axis: MRE (deg cm² dmol⁻¹)
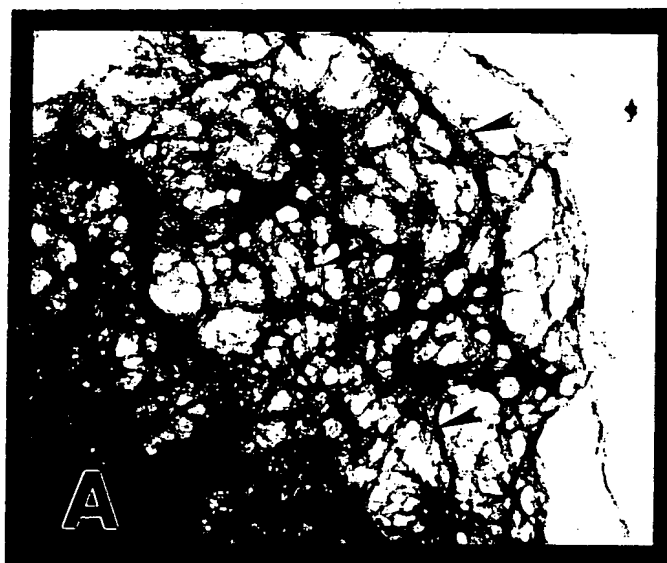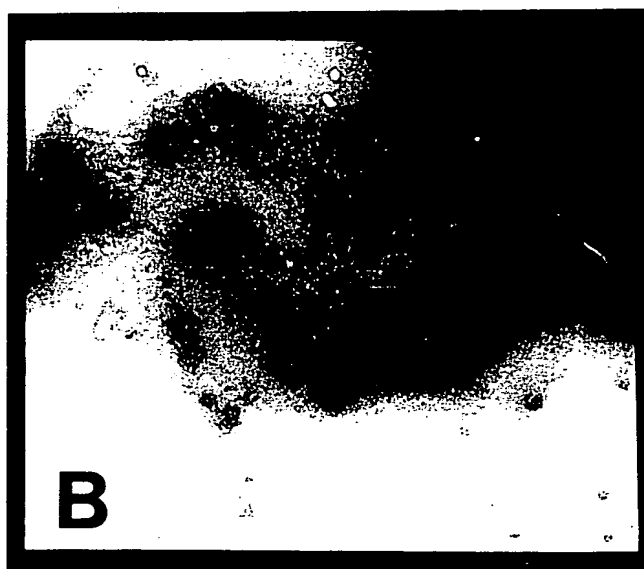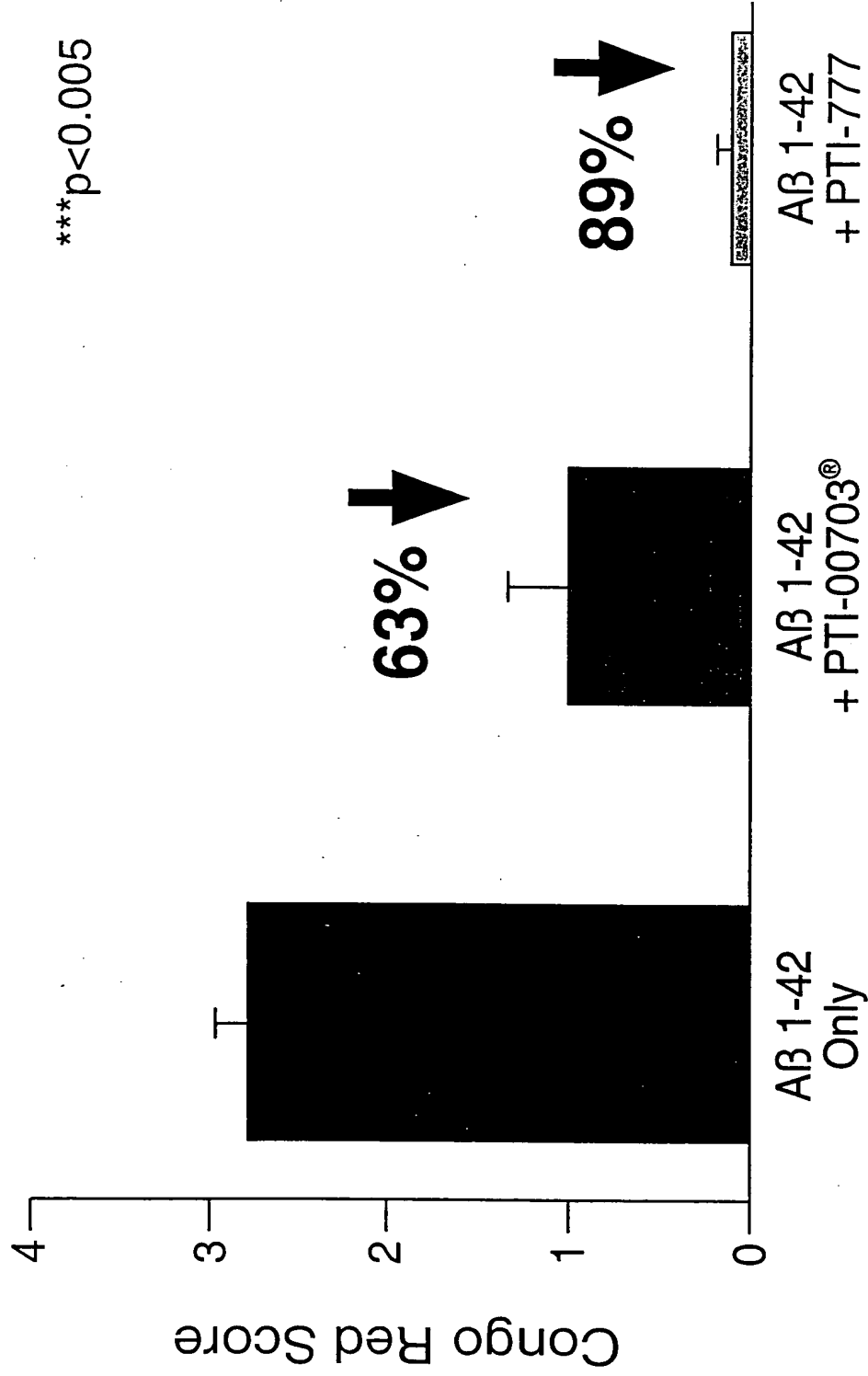
Fig. 7A

Fig. 7B

Fig. 8

Fig. 9A

Fig. 9B

Fig. 9C

Fig. 9D

Fig. 10A

Fig.10B

Fig. 11

DAD1 A, Sig=230, 4 Ref=off

**HPLC Profile of
Unlabeled PTI-777**

Fig. 12A   Minutes

DAD1 A, Sig=230, 4 Ref=off

**HPLC Profile
of ^{3}H-PTI-777**

Fig. 12B   Minutes

LC, Solvent B: MeCN/TFA

**Radioactivity
Distribution
(0.5ml fractions)**

Fig. 12C   Minutes

³H-PTI-777 Radioactivity in Rat Brain

Time (h)

Radioactivity (dpm/g tissue)
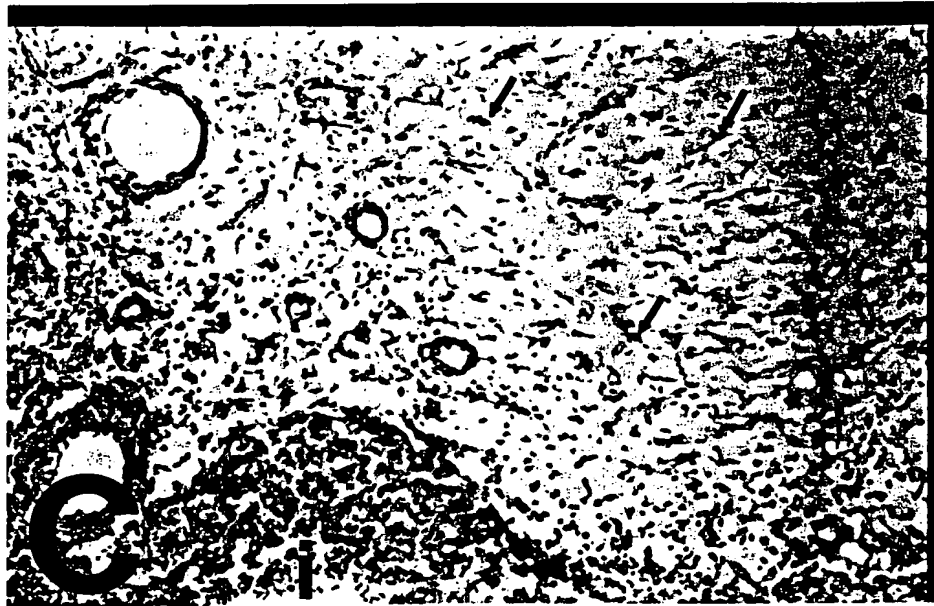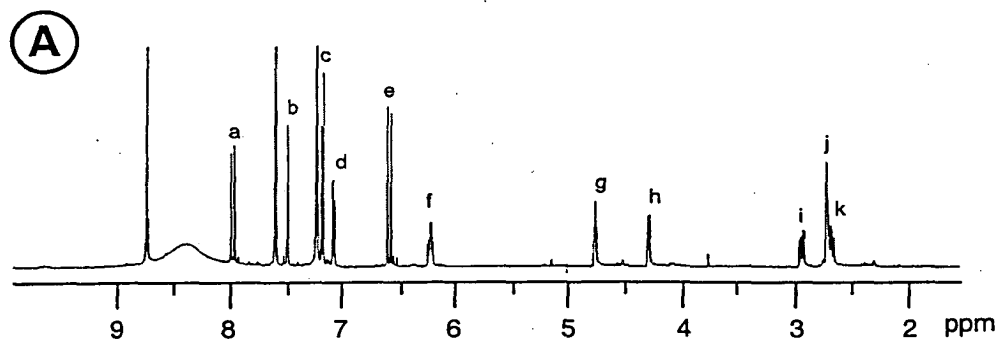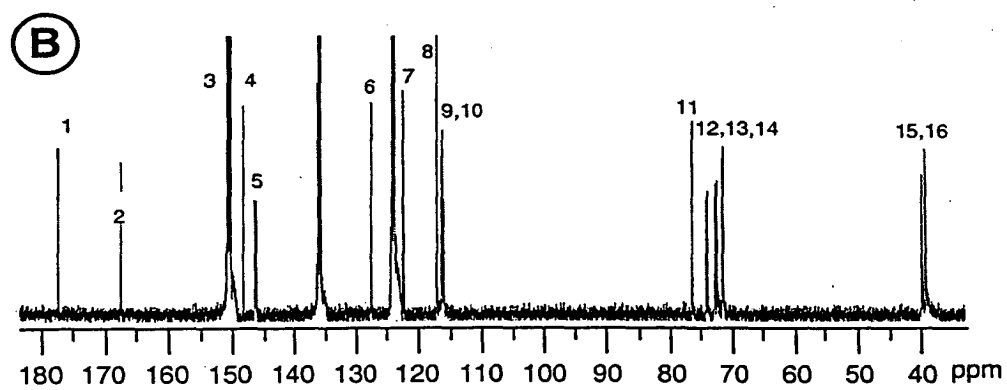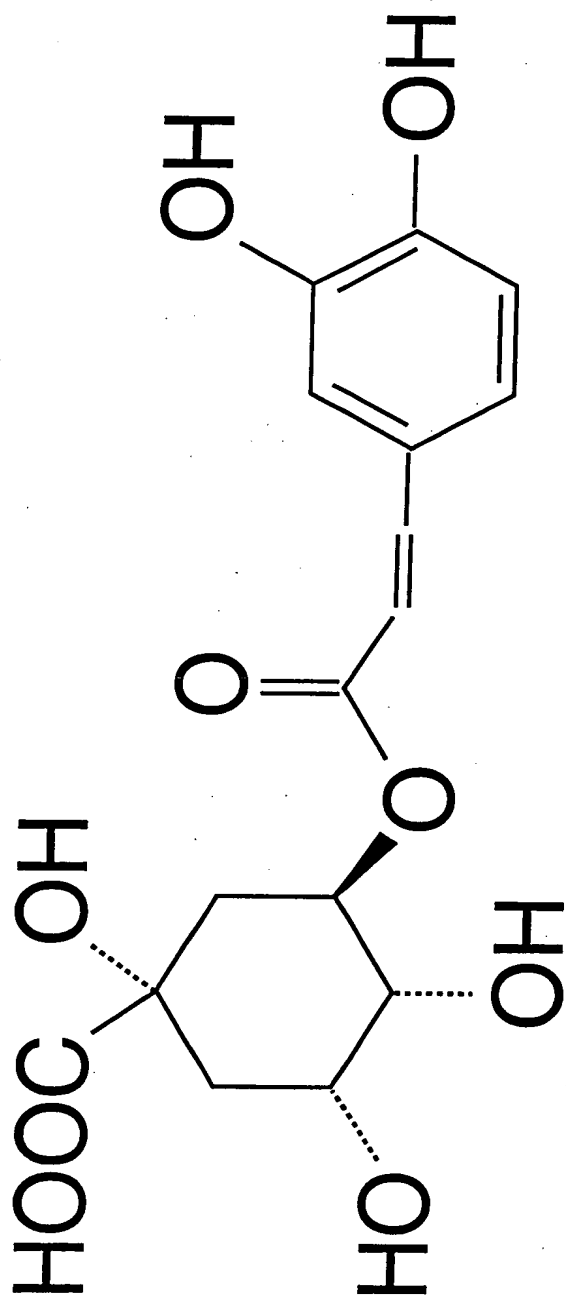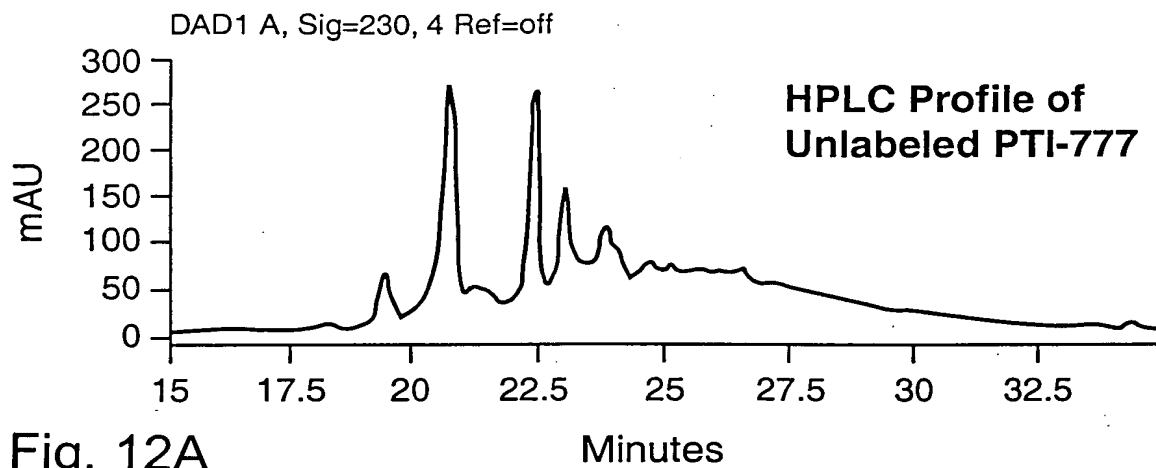
Fig. 13

Jaguar Summed Mass Spectrum Report

File Name: G:__41.dat

Method Name:

Sample ID:

Instrument: JAGUAR

Time Run: 11/13/00 11:01:40 AM

Report Created: 11/13/00 11:03:26 AM

Operator:

Ionization Mode: ESI - positive ions

Spec # Range: 2706 - 2778
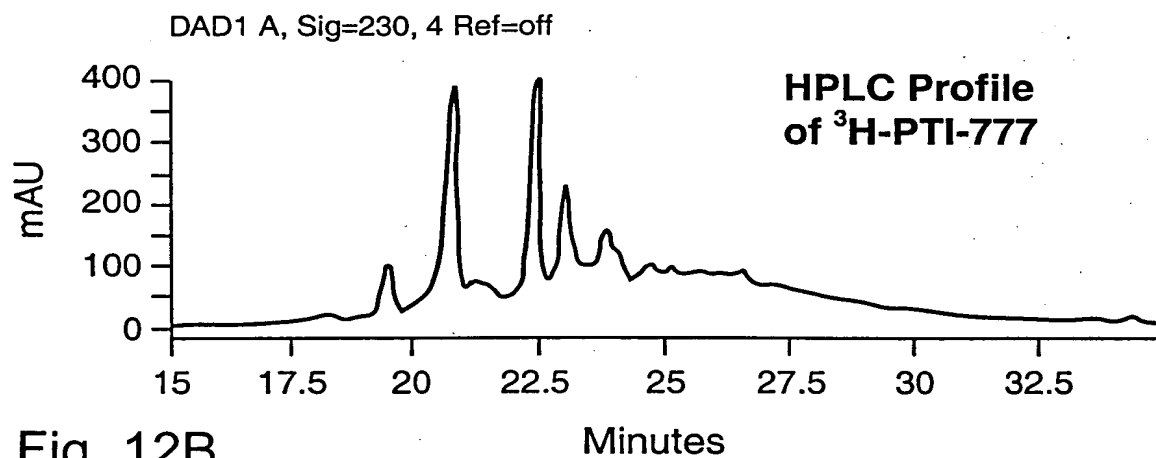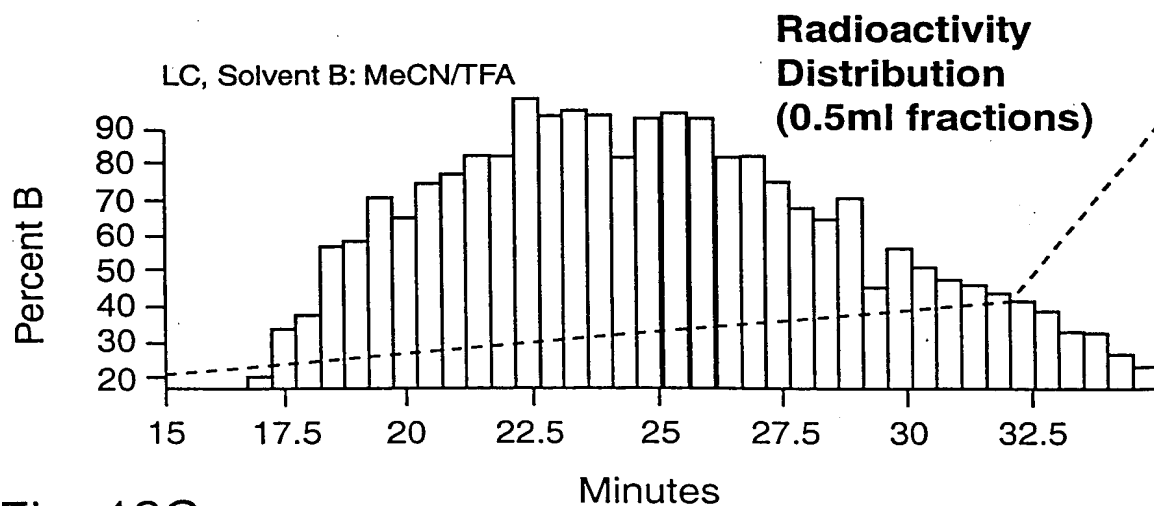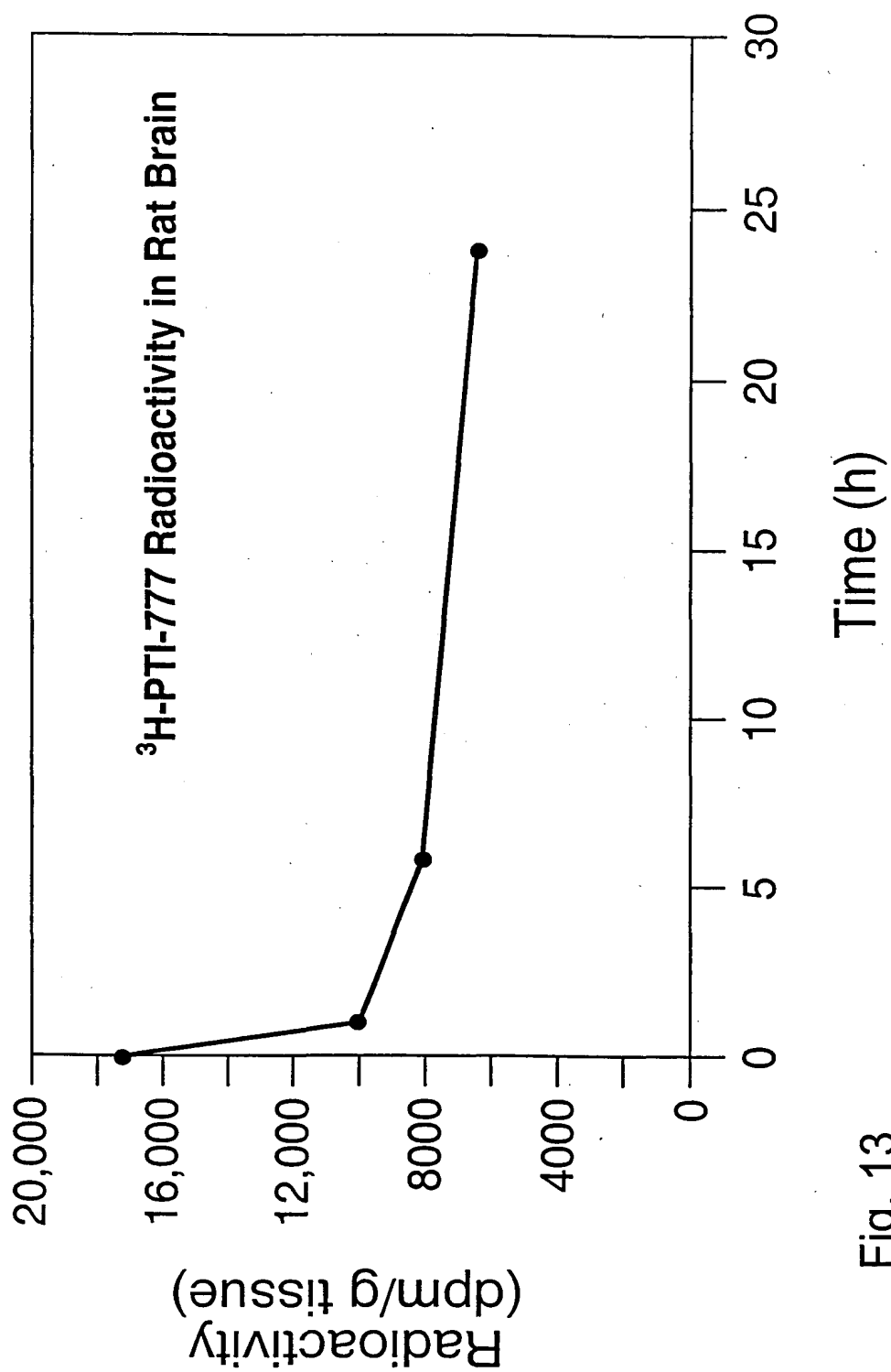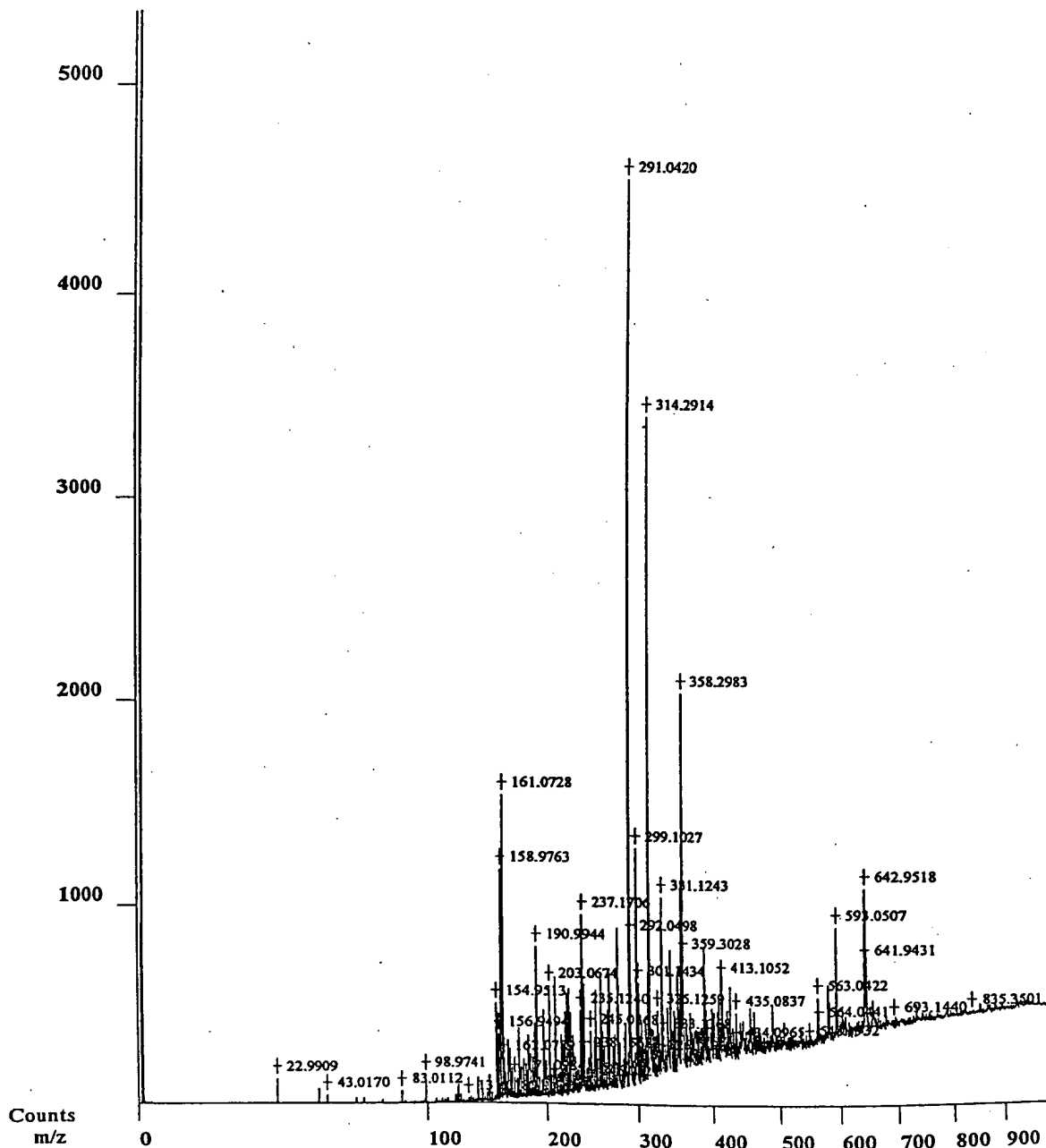

Fig. 14
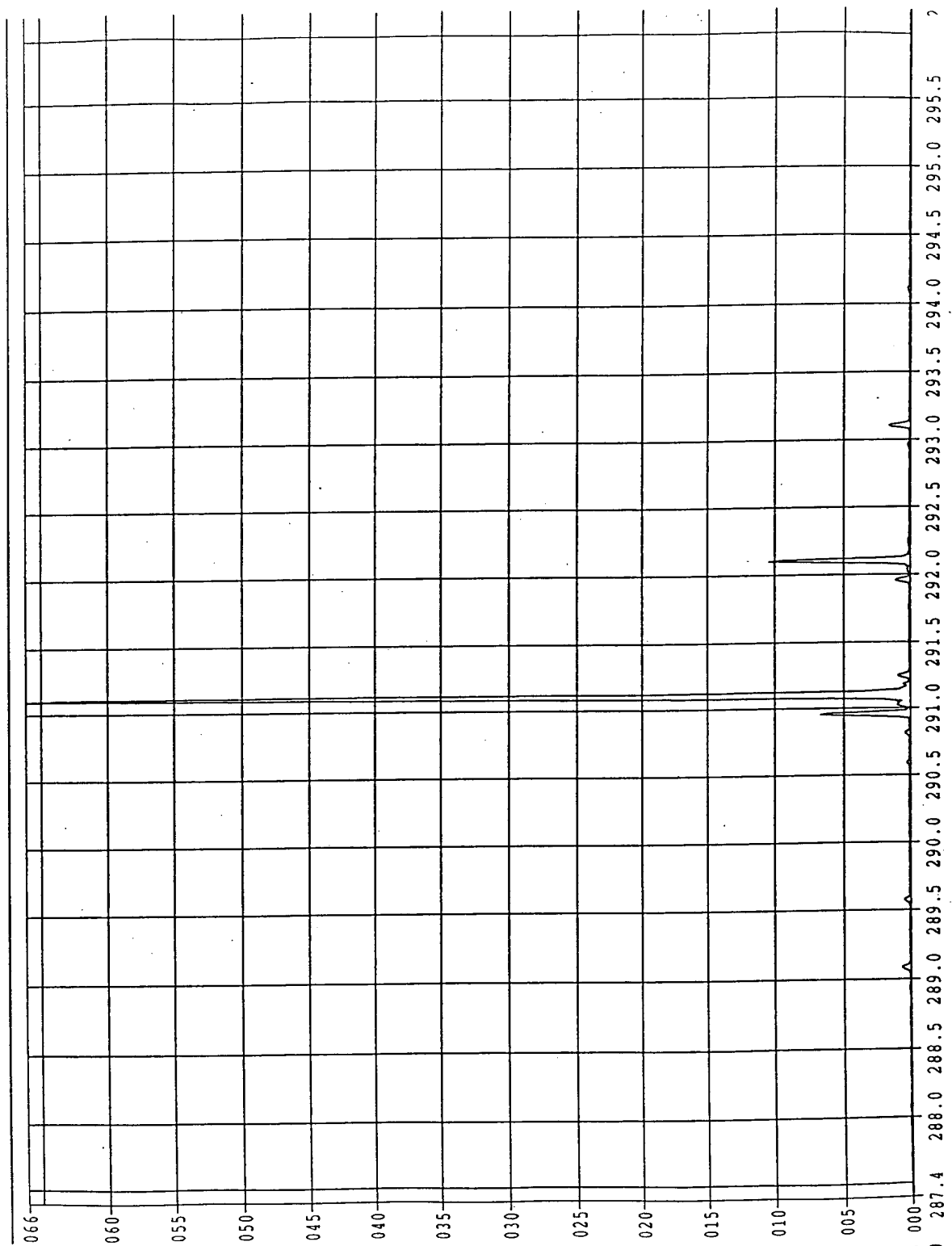
Fig. 15

[Mass Spectrum]
Data : BYU_A77G
Sample: 1
Note :
Inlet : Direct   Ion Mode : EI+
Spectrum Type : Normal Ion (MF-Linear)
RT : 0.64 min   Scan# : (5,8)
BP : m/z 140.0000   Int. : 135.19
Output m/z range : 50.0000 to 362.6855   Cut Level : 0.00 %

1417612

100 — 140

95 —

90 —

85 — 124

80 —

75 — 123

70 — 69

65 —

60 —

55 —

50 —

45 — 153

40 —

35 —

30 — 52

25 —

20 — 111

15 — 273, 292

10 — 272

5 — 180 199 227 246 256

0 —
60   80   100   120   140   160   180   200   220   240   260   280   300   320   340   360
m/z

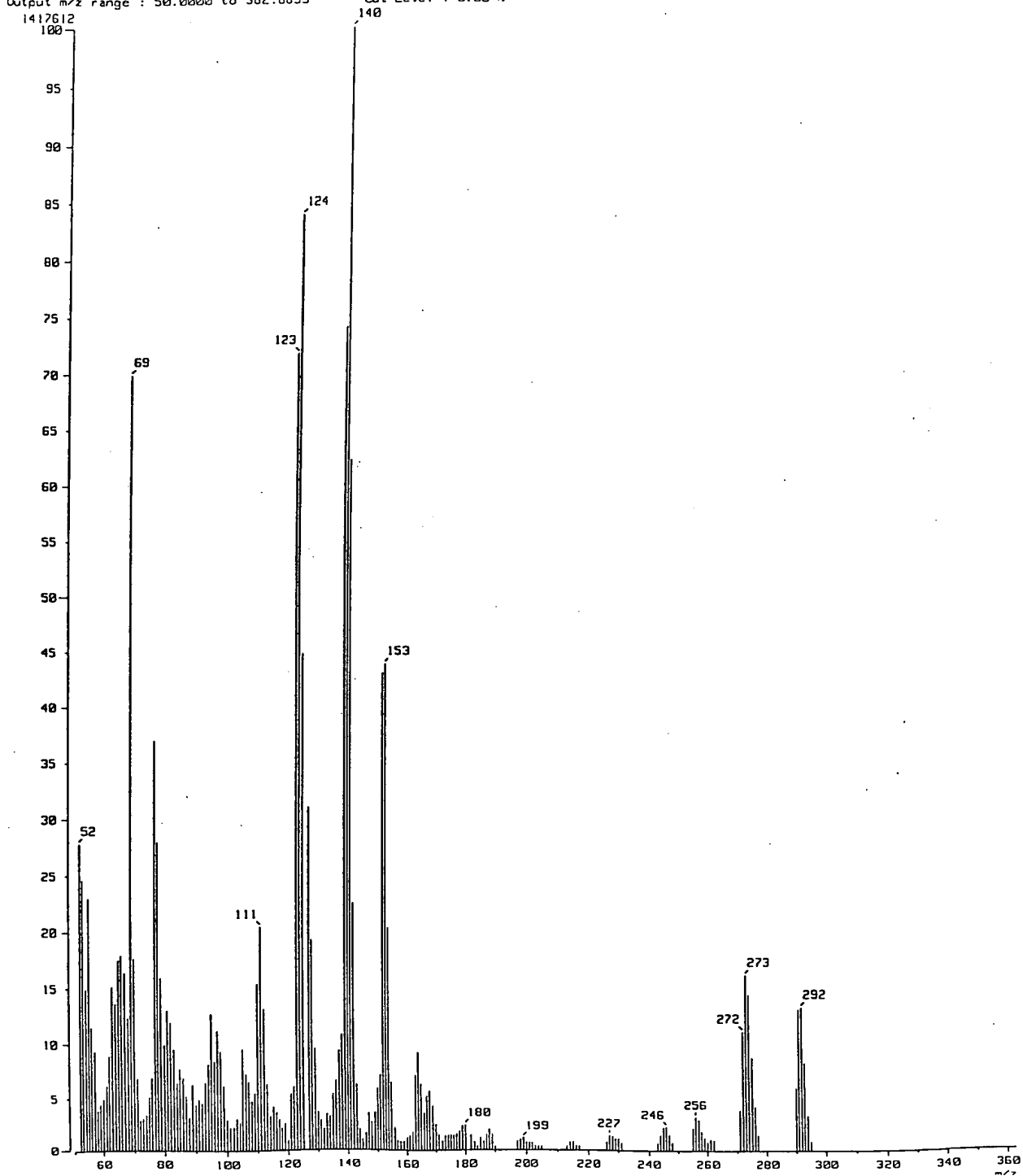Fig. 16

[Mass Spectrum]
Data : BYU_A349
Sample: acylated 1
Note : ThioGlycerol & Na
Inlet : Direct   Ion Mode : FAB+
Spectrum Type : Normal Ion [MF-Linear]
RT : 0.08 min   Scan# : (1,4)
BP : m/z 523.0000   Int. : 322.38
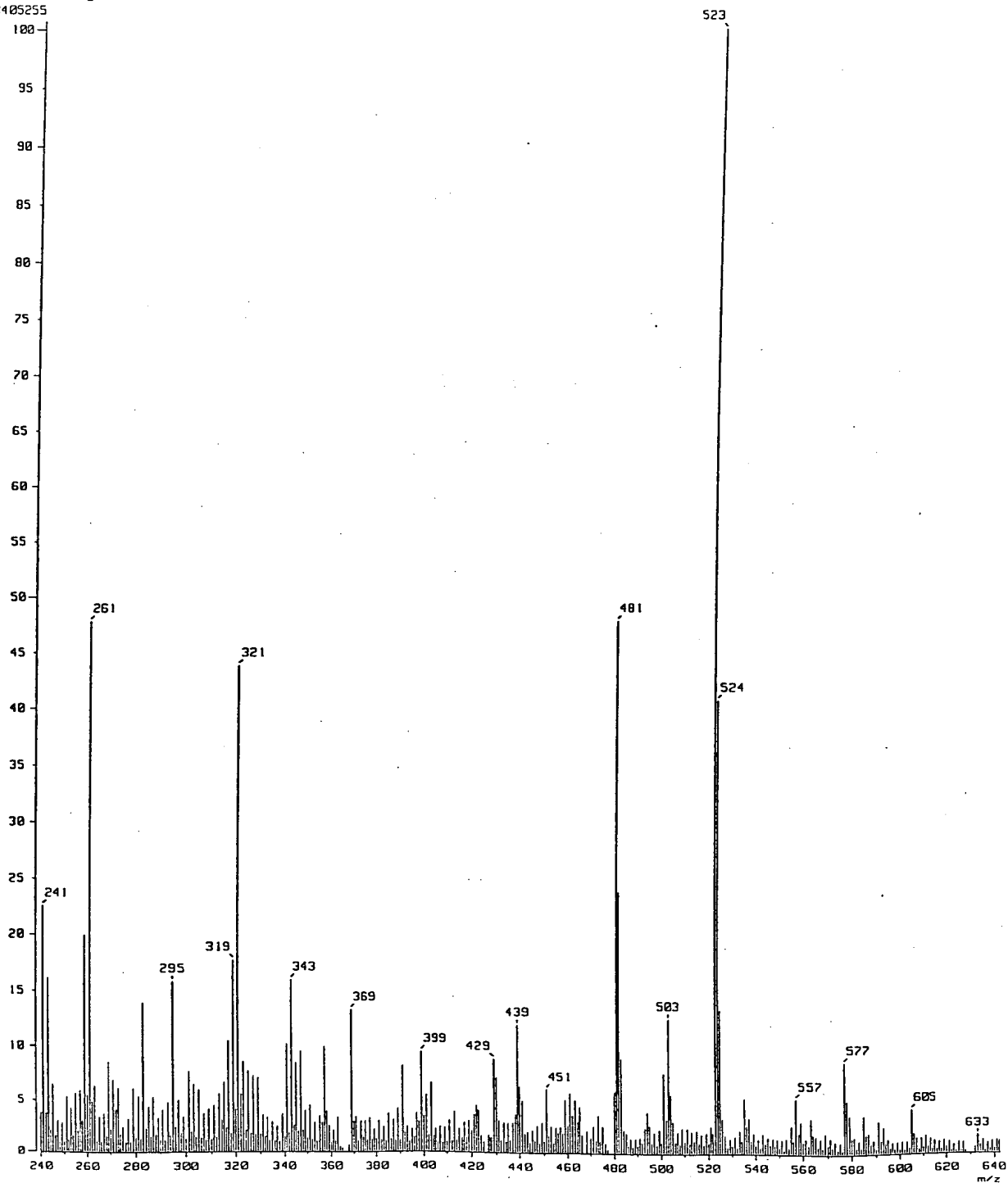Output m/z range : 240.0000 to 642.3739   Cut Level : 0.00 %

Fig. 17

[Mass Spectrum]
Data : BYU_A373
Sample: acylated-1
Note :   Ion Mode : EI+
Inlet : Direct
Spectrum Type : Normal Ion [MF-Linear]
RT : 0.89 min   Scan# : (7,9)-k((3,4))[k=1.0]
BP : m/z 398.0000   Int. : 68.70
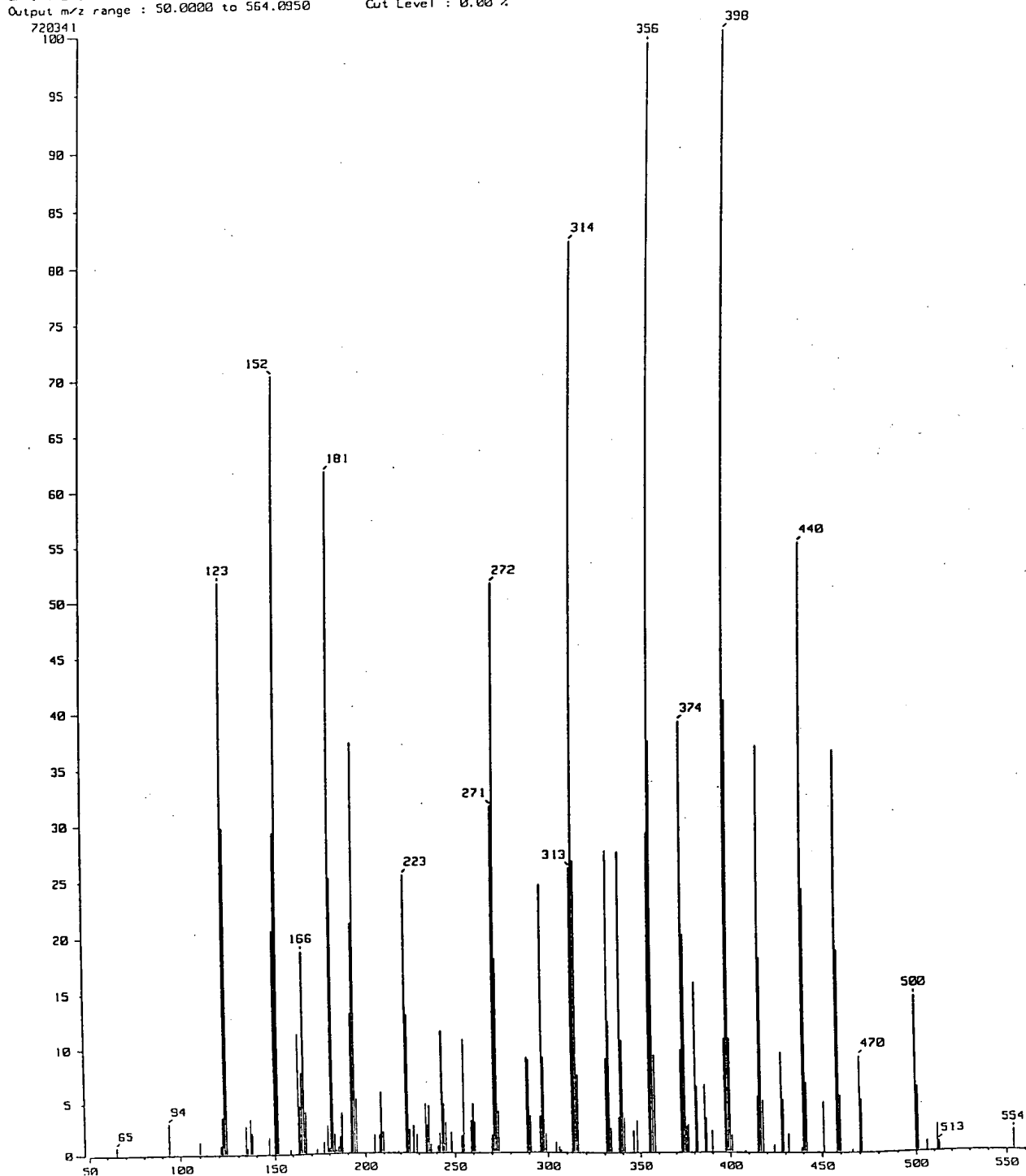Output m/z range : 50.0000 to 564.0950   Cut Level : 0.00 %

Fig. 18

H1 of sample.

Pulse Sequence: s2pul

Fig. 19

C13 of Sample in Acetone-d6

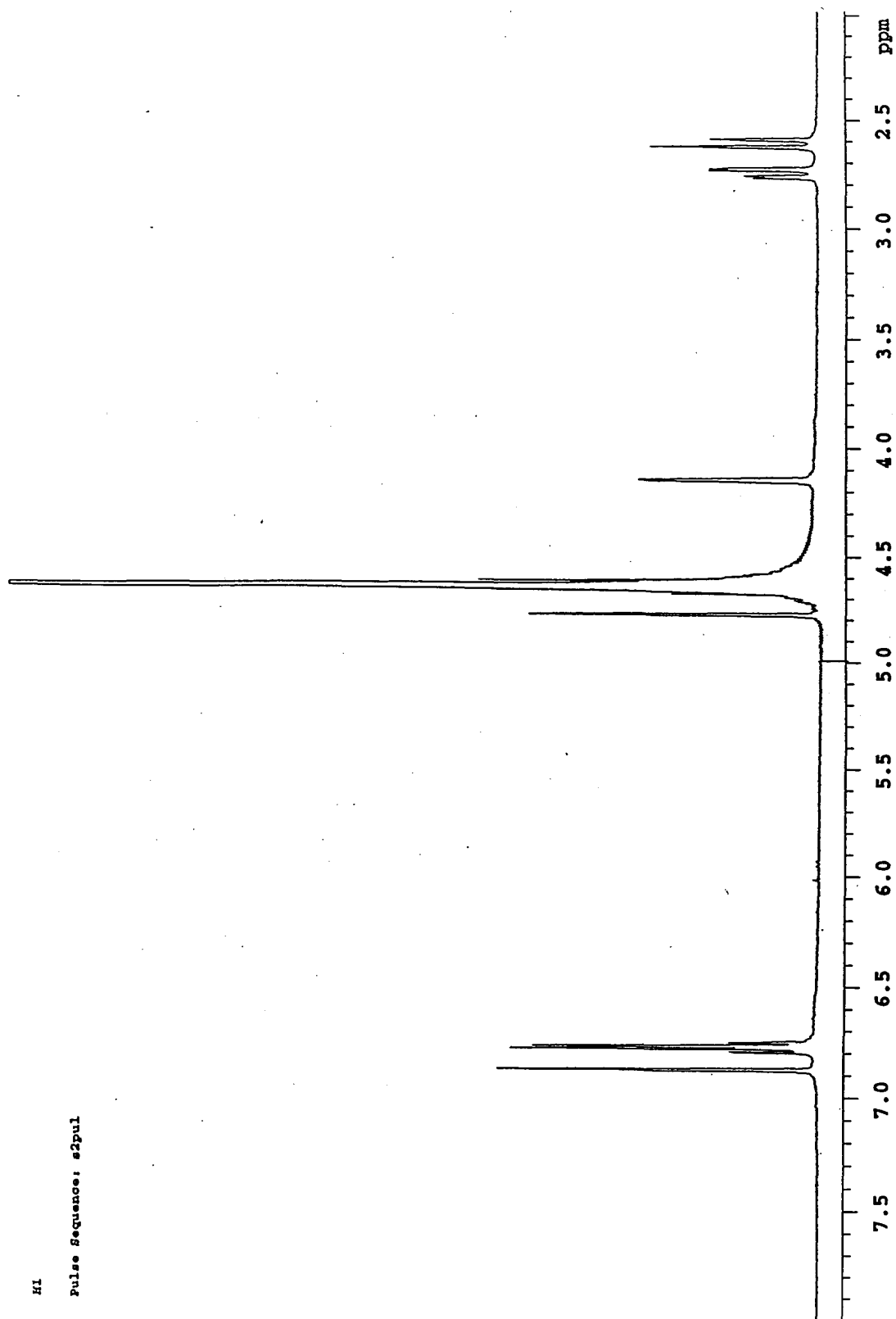Pulse Sequence: s2pul

29.060
29.457
29.614
29.767
29.920
30.077
30.230
30.383

66.982

79.492

95.720
96.198

99.851

115.351
115.534
119.424

132.345

145.350
145.456

157.233
157.648

206.424

ppm

Fig. 20

H1
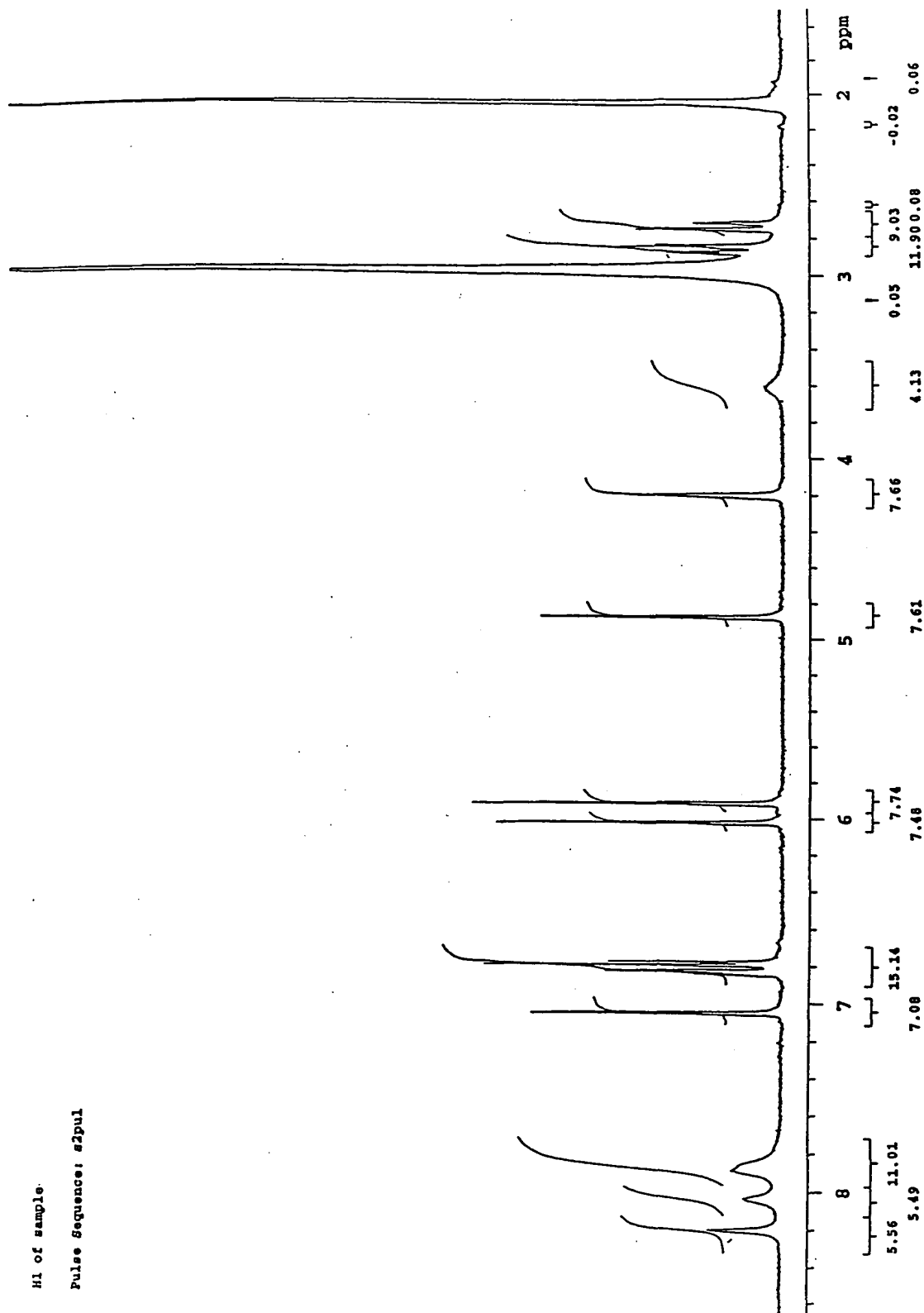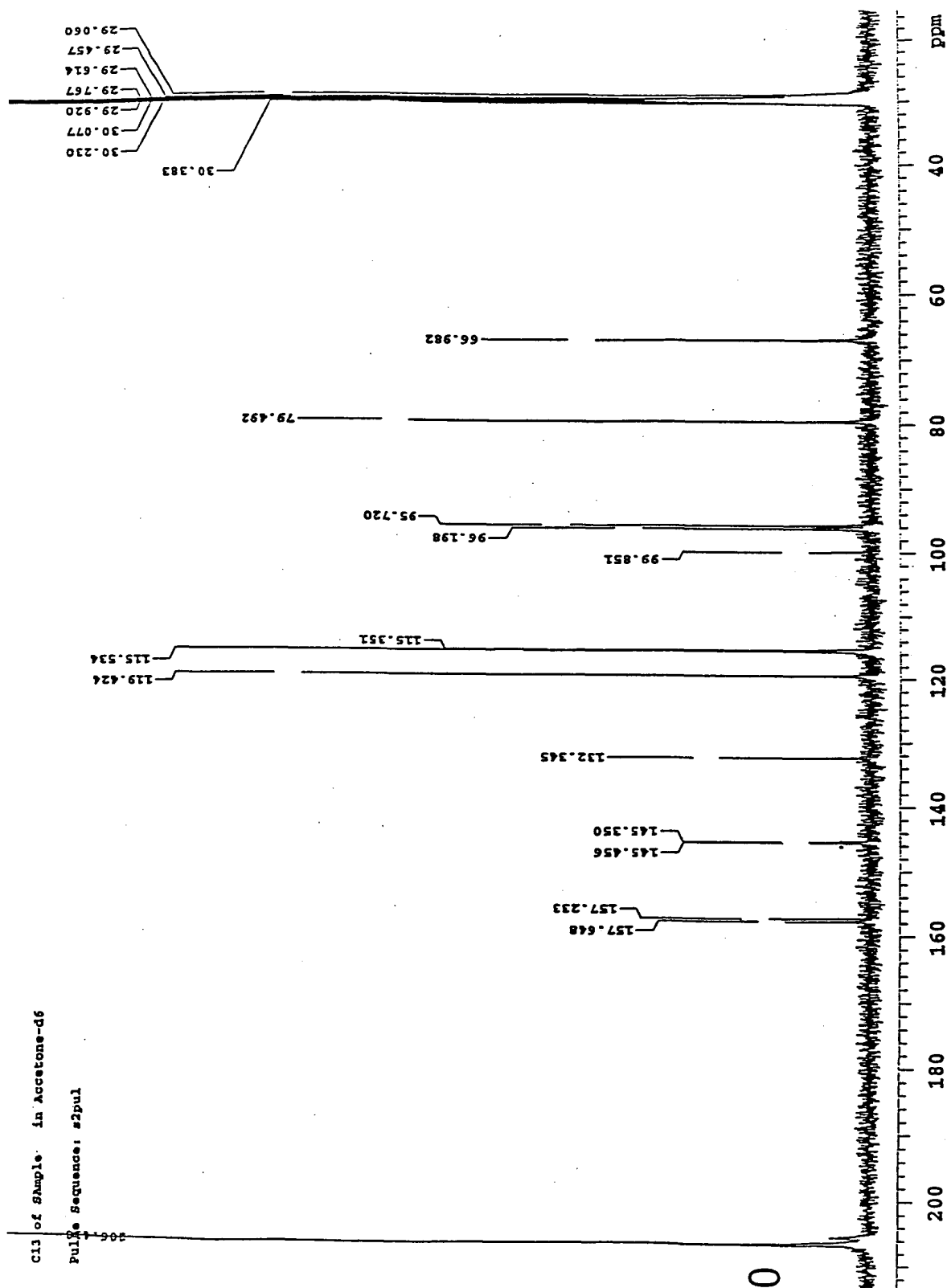
Pulse Sequence: s2pul

Fig. 21

C13 of Sample   in D2O.

Pulse Sequence: s2pul

27.580

65.821

78.313

99.946

114.593
116.263
119.194

131.219

143.875
144.026

155.535
155.748

163.208

180   160   140   120   100   80   60   40   ppm

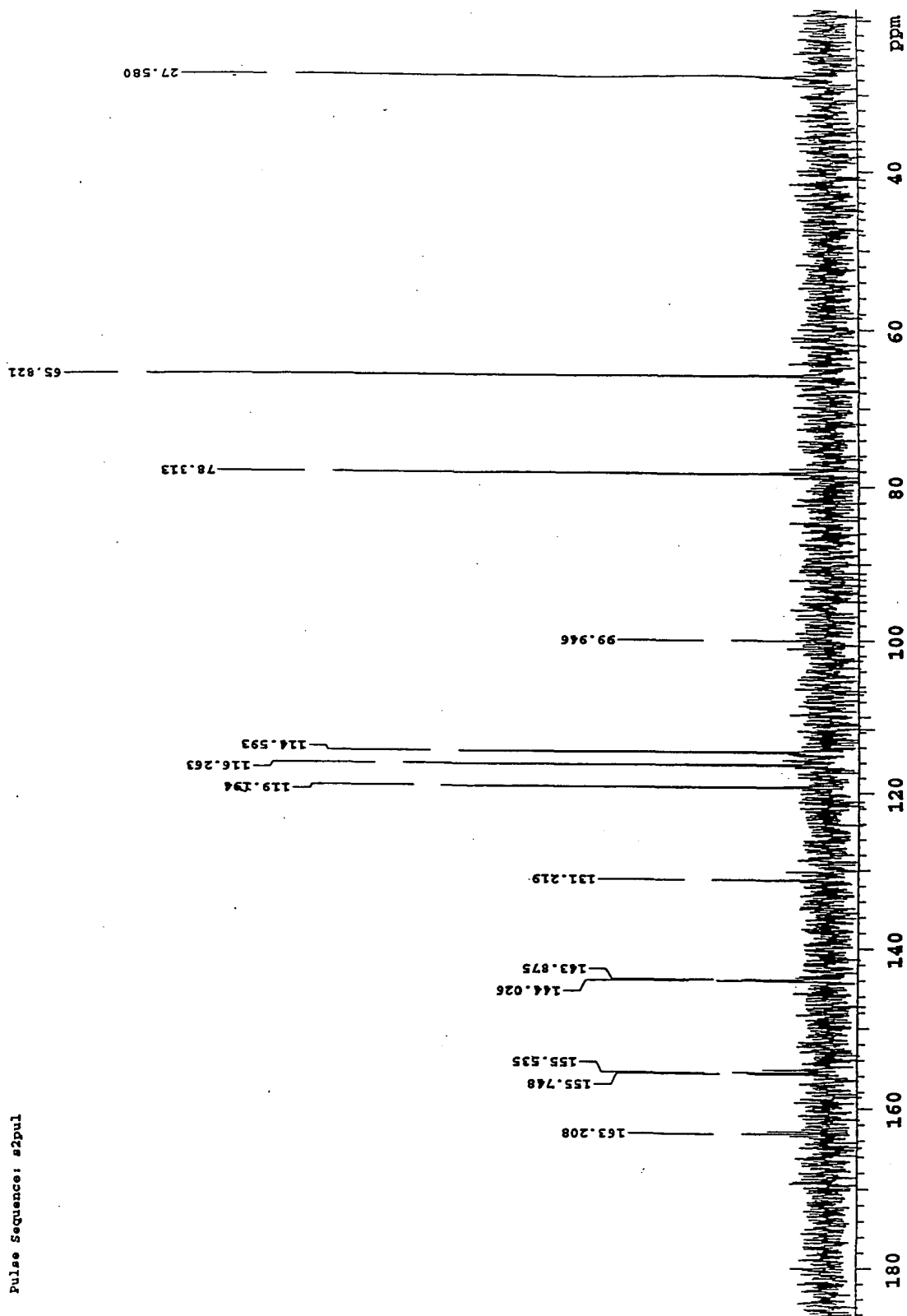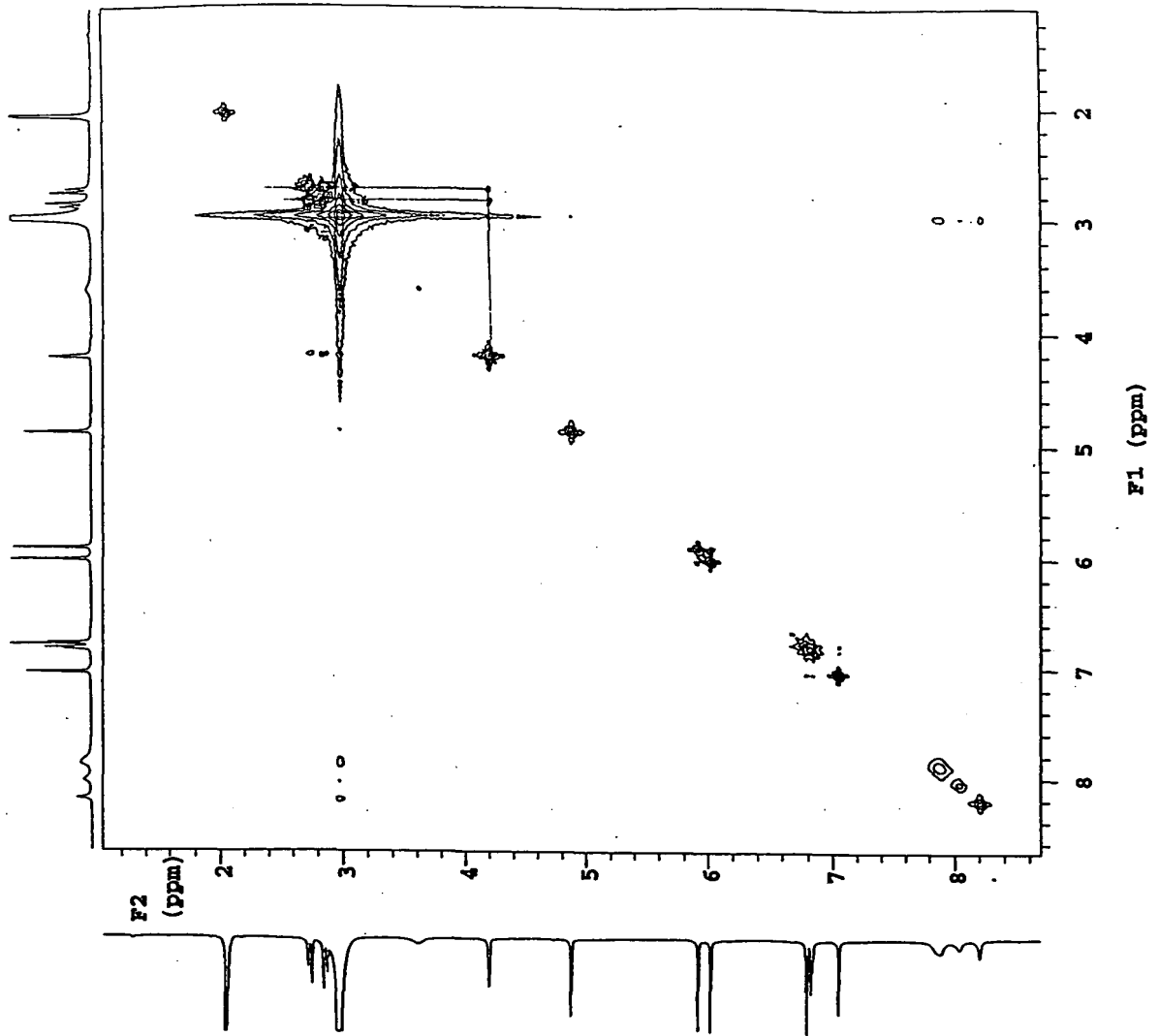Fig. 22

COSY of Sample

Pulse Sequence: relayh

Solvent: Acetone
Ambient temperature
UNITY-500 "nmr500"

PULSE SEQUENCE: relayh
COSY 90-90
Acq. time 0.302 sec
Width   3817.5 Hz
2D Width 3817.5 Hz
16 repetitions
159 increments
OBSERVE H1, 499.8814428 MHz
DATA PROCESSING
F1 DATA PROCESSING
Line broadening 0.3 Hz
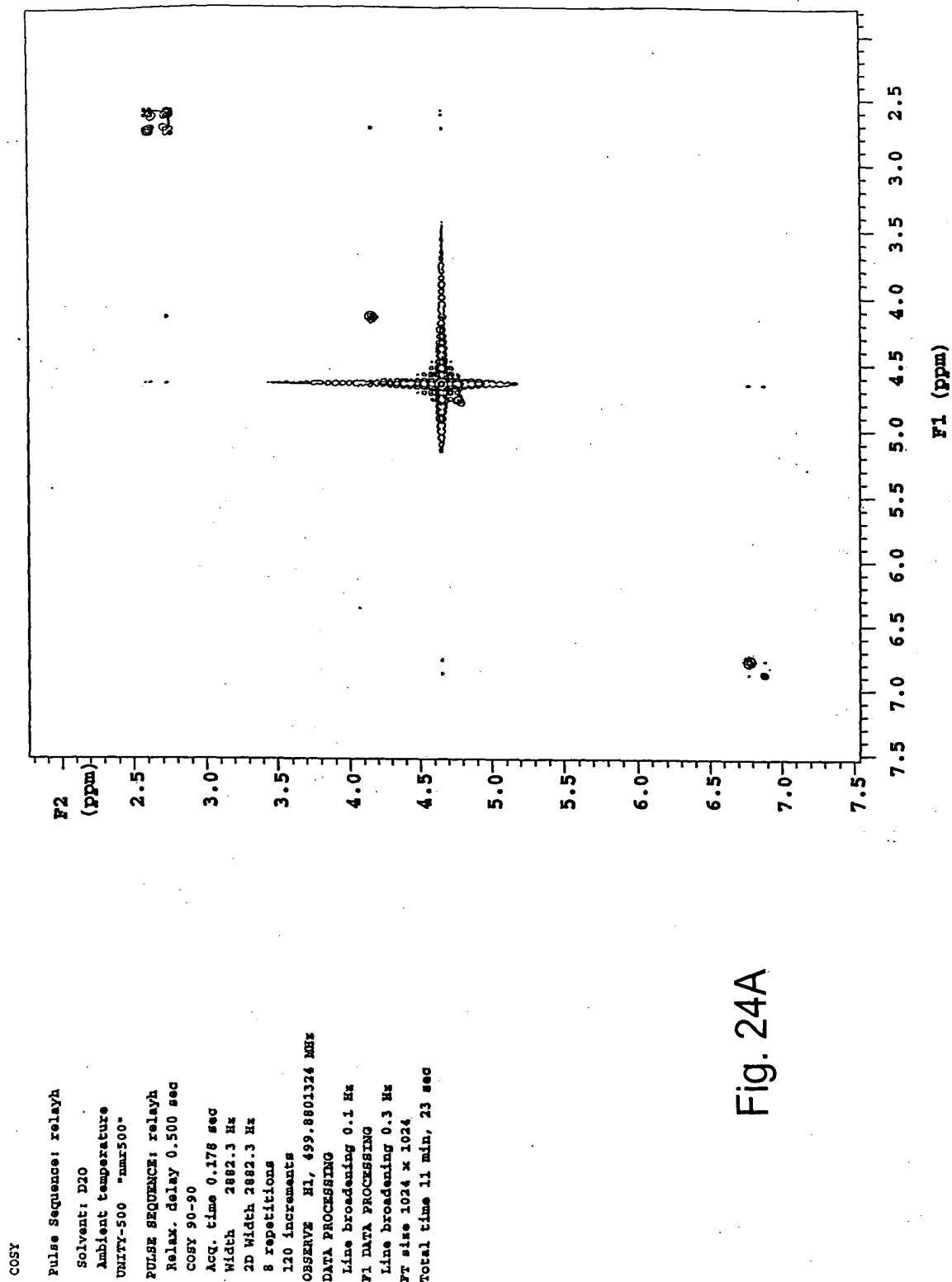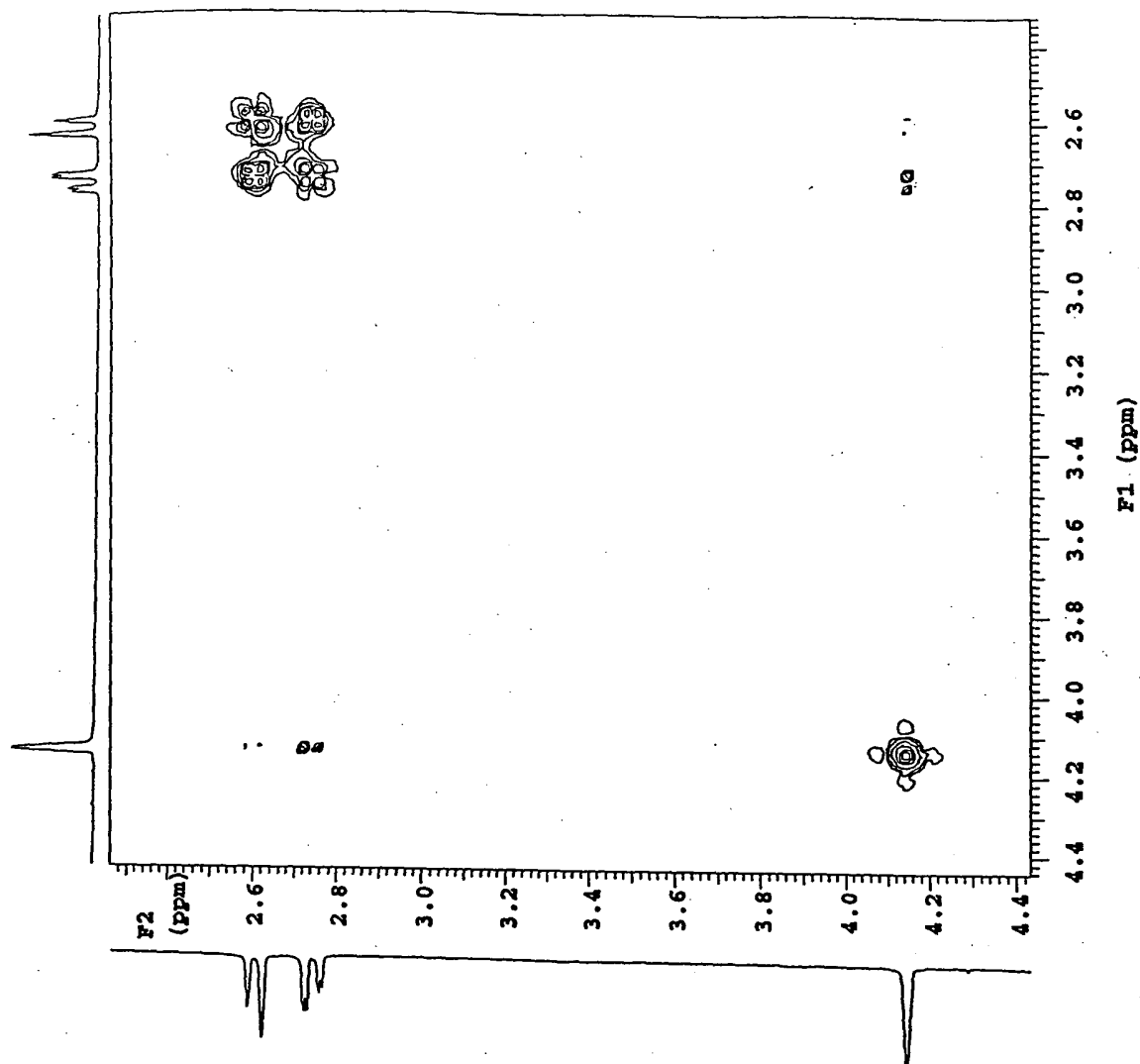FT size 1024 x 1024
Total time 14 min, 12 sec

Fig. 23

F1 (ppm)

F2 (ppm)

COSY

Pulse Sequence: relayh

Solvent: D2O
Ambient temperature
UNITY-500 "nmr500"

PULSE SEQUENCE: relayh
Relax. delay 0.500 sec
COSY 90-90
Acq. time 0.178 sec
Width   2882.3 Hz
2D Width 2882.3 Hz
8 repetitions
120 increments
OBSERVE   H1, 499.8801324 MHz
DATA PROCESSING
Line broadening 0.1 Hz
F1 DATA PROCESSING
Line broadening 0.3 Hz
FT size 1024 x 1024
Total time 11 min, 23 sec

Fig. 24A

F2
(ppm)

F1 (ppm)

COSY

Pulse Sequence: relayh

Solvent: D2O
Ambient temperature
UNITY-500 "nmr500"

PULSE SEQUENCE: relayh
Relax. delay 0.500 sec
COSY 90-90
Acq. time 0.178 sec
Width 2882.3 Hz
2D Width 2882.3 Hz
8 repetitions
120 increments
OBSERVE H1, 499.8801324 MHz
DATA PROCESSING
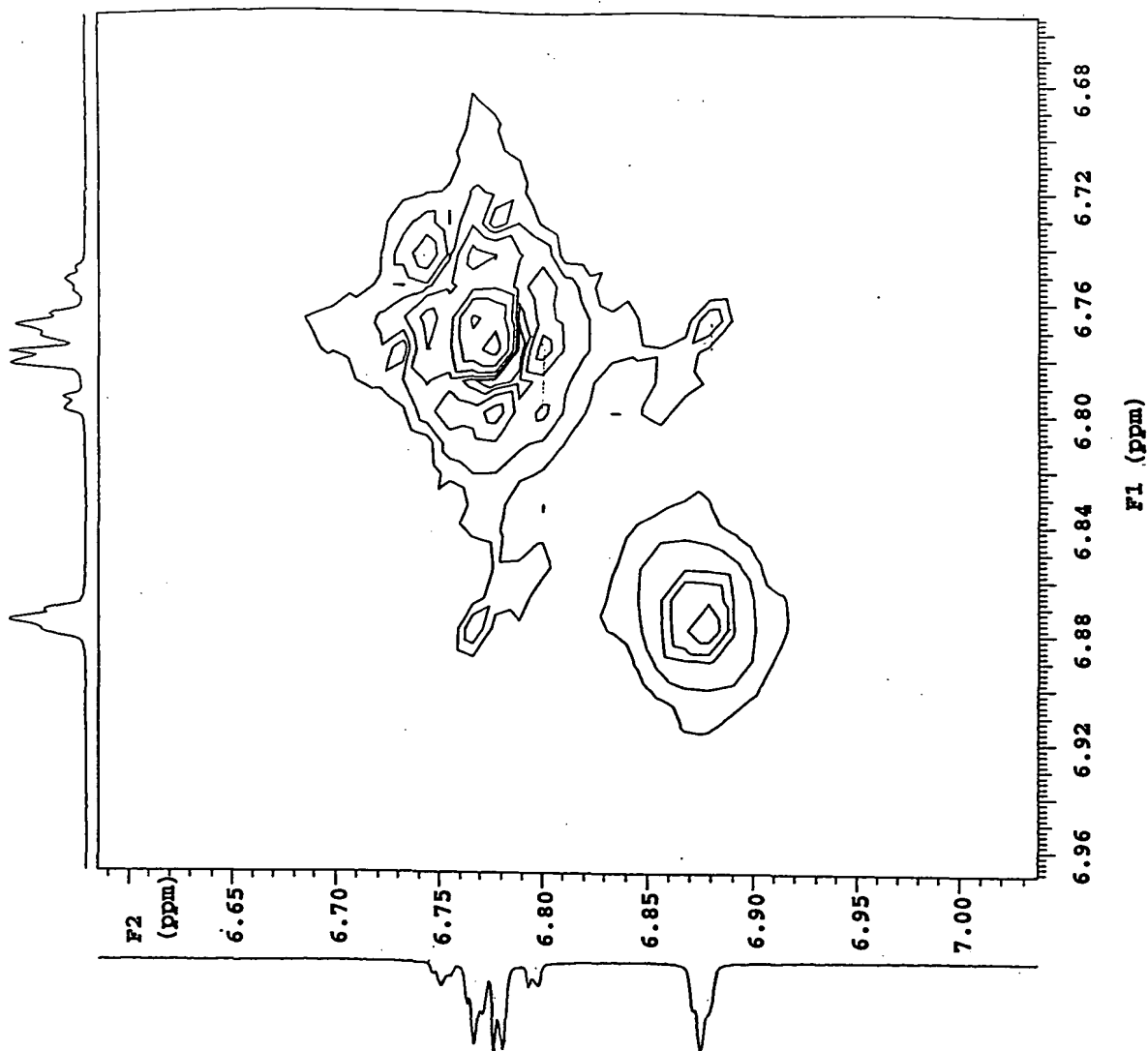Line broadening 0.1 Hz
F1 DATA PROCESSING
Line broadening 0.3 Hz
FT size 1024 x 1024
Total time 11 min, 23 sec

Fig. 24B

COSY

Pulse Sequence: relayh

Solvent: D2O
Ambient temperature
UNITY-500 "nmr500"

PULSE SEQUENCE: relayh
Relax. delay 0.500 sec
COSY 90-90
Acq. time 0.178 sec
Width 2882.3 Hz
2D Width 2882.3 Hz
8 repetitions
120 increments
OBSERVE H1, 499.8801324 MHz
DATA PROCESSING
Line broadening 0.1 Hz
F1 DATA PROCESSING
Line broadening 0.3 Hz
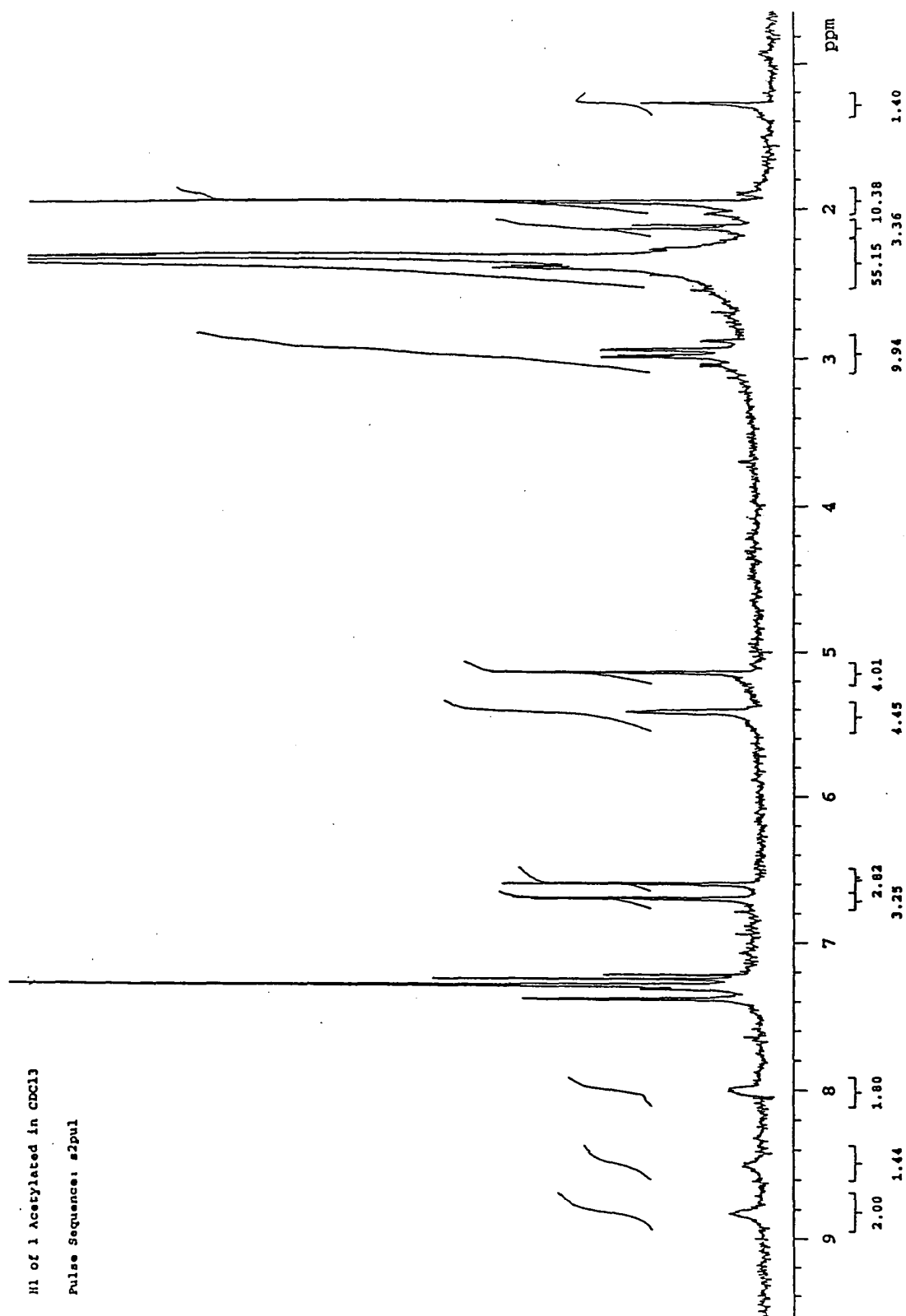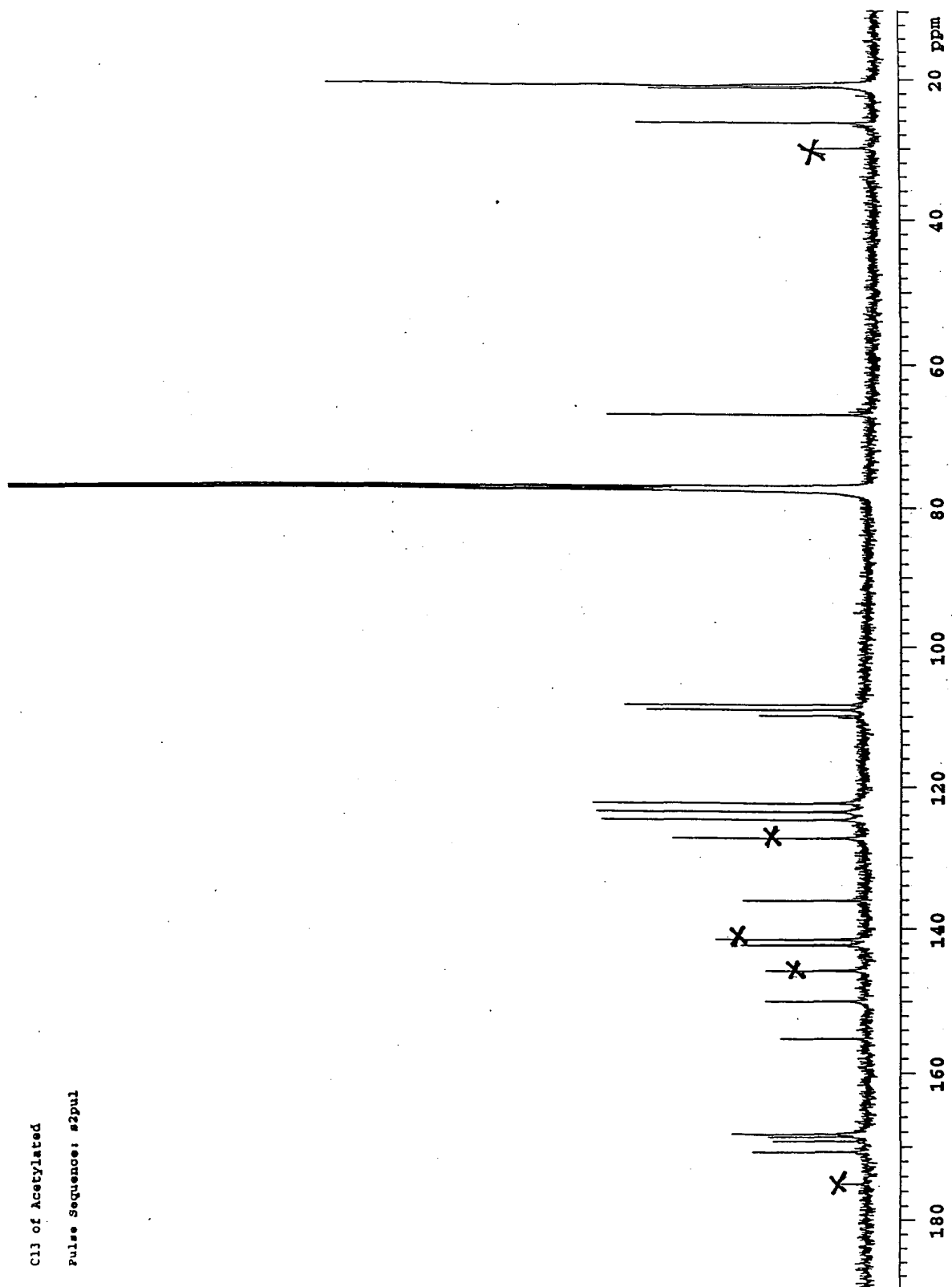FT size 1024 x 1024
Total time 11 min, 23 sec

Fig. 24C

H1 of 1 Acetylated in CDCl3

Pulse Sequence: s2pul

Fig. 25

C13 of Acetylated

Pulse Sequence: s2pul
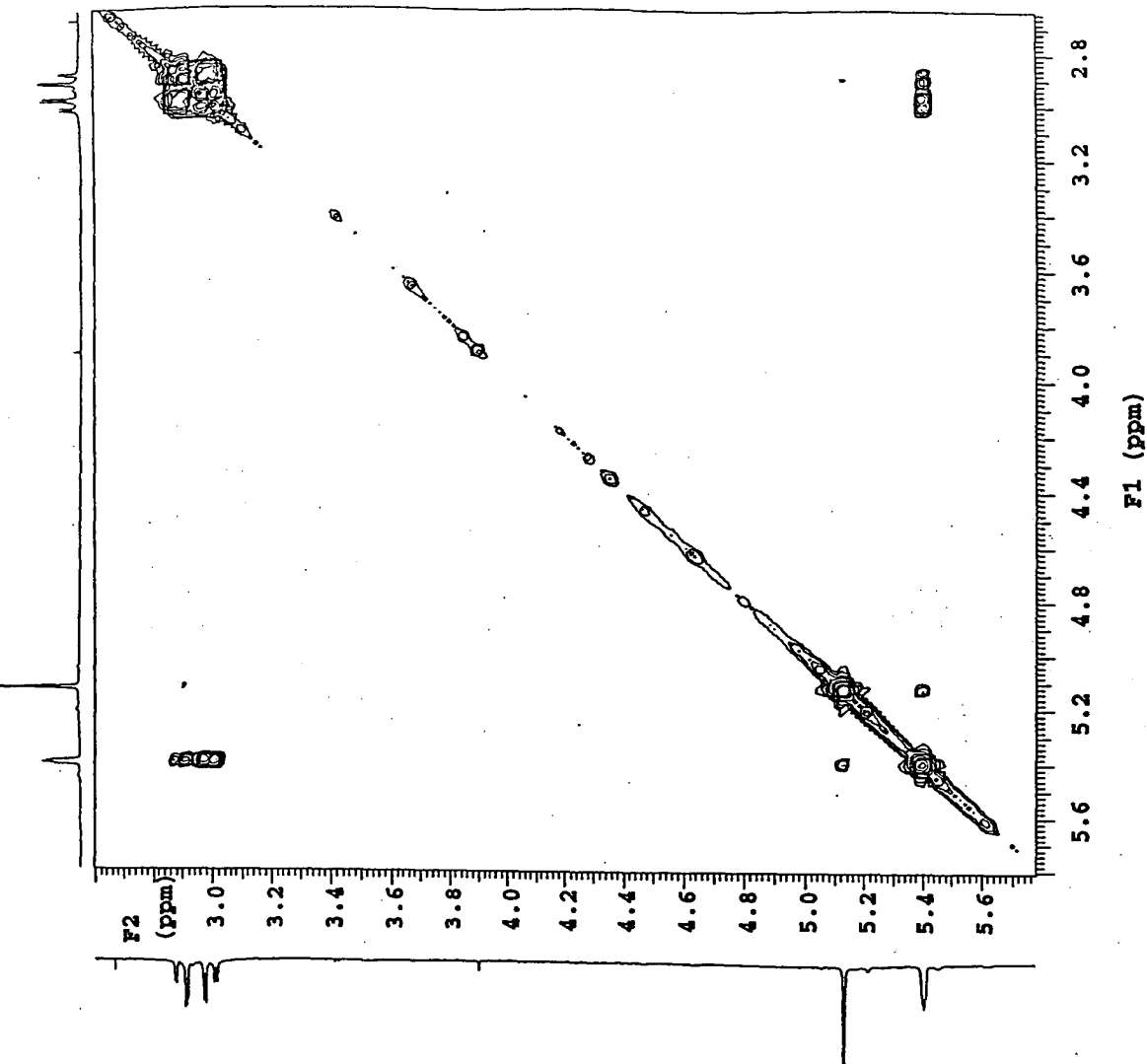
X=pyridinium acetate

Fig. 26

COSY

Pulse Sequence: relayh

Solvent: CDCl3
Temp. 26.0 C / 299.1 K
INOVA-500 "nmr500"

Relax. delay 0.500 sec
COSY 90-90
Acq. time 0.128 sec
Width 8000.0 Hz
2D Width 8000.0 Hz
16 repetitions
512 increments
OBSERVE H1, 499.9135718 MHz
DATA PROCESSING
Sine bell 0.064 sec
F1 DATA PROCESSING
Sine bell 0.032 sec
FT size 2048 x 2048
Total time 1 hr, 31 min, 32 sec

Fig. 27

HETCOR of Acetylated . in CDCl3.

Pulse Sequence: hetcor

Solvent: CDCl3
Temp. 26.0 C / 299.1 K
User: 1-14-87
INOVA-500 "nmr500"

Relax. delay 1.000 sec
Acq. time 0.082 sec
Width 25000.0 Hz
2D Width 4614.9 Hz
208 repetitions
256 increments
OBSERVE C13, 125.7033376 MHz
DECOUPLE H1, 499.9160715 MHz
Power 38 db
on during acquisition
off during delay
WALTZ-16 modulated
DATA PROCESSING
Line broadening 2.0 Hz
F1 DATA PROCESSING
Line broadening 0.3 Hz
FT size 4096 x 1024
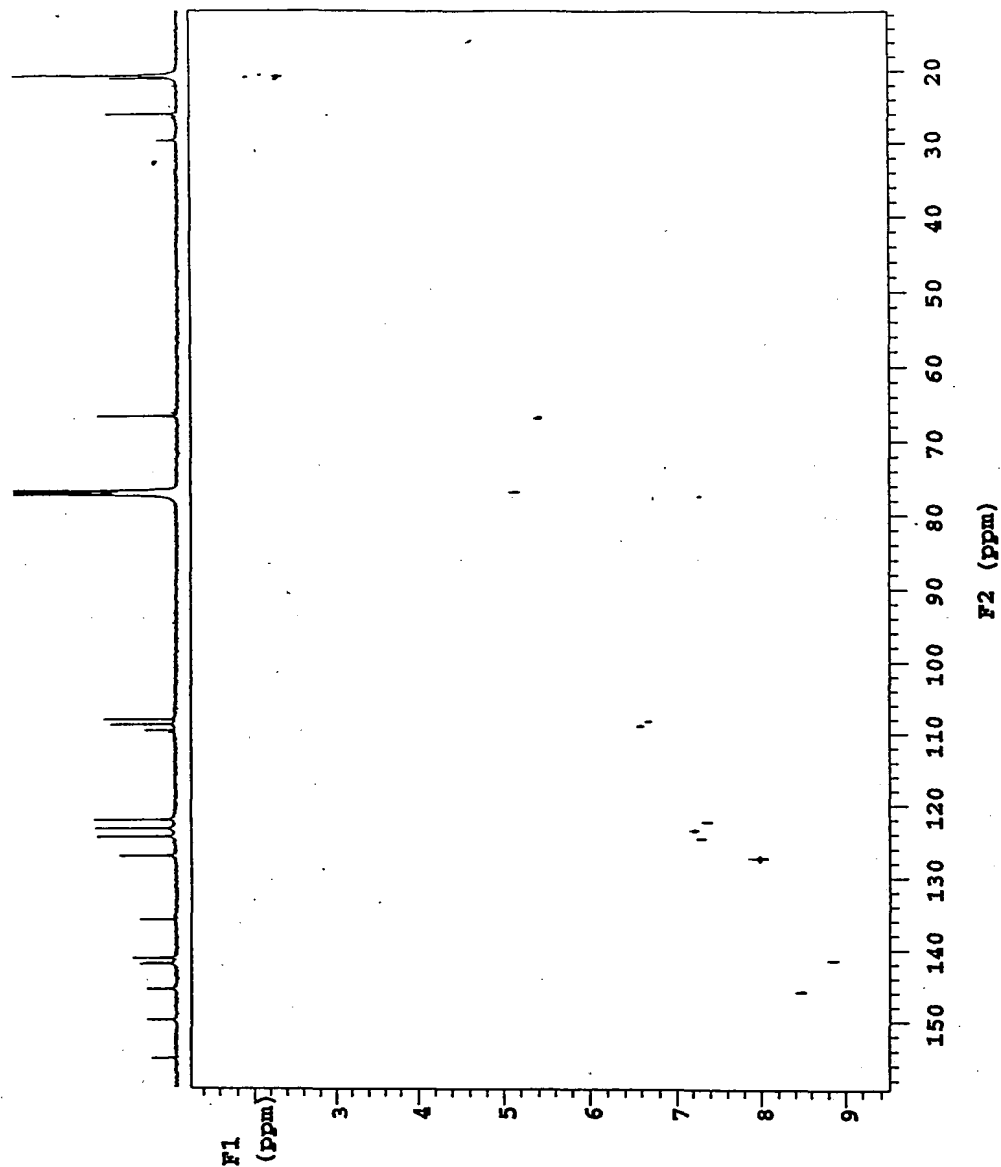Total time 16 hr, 46 min, 21 sec
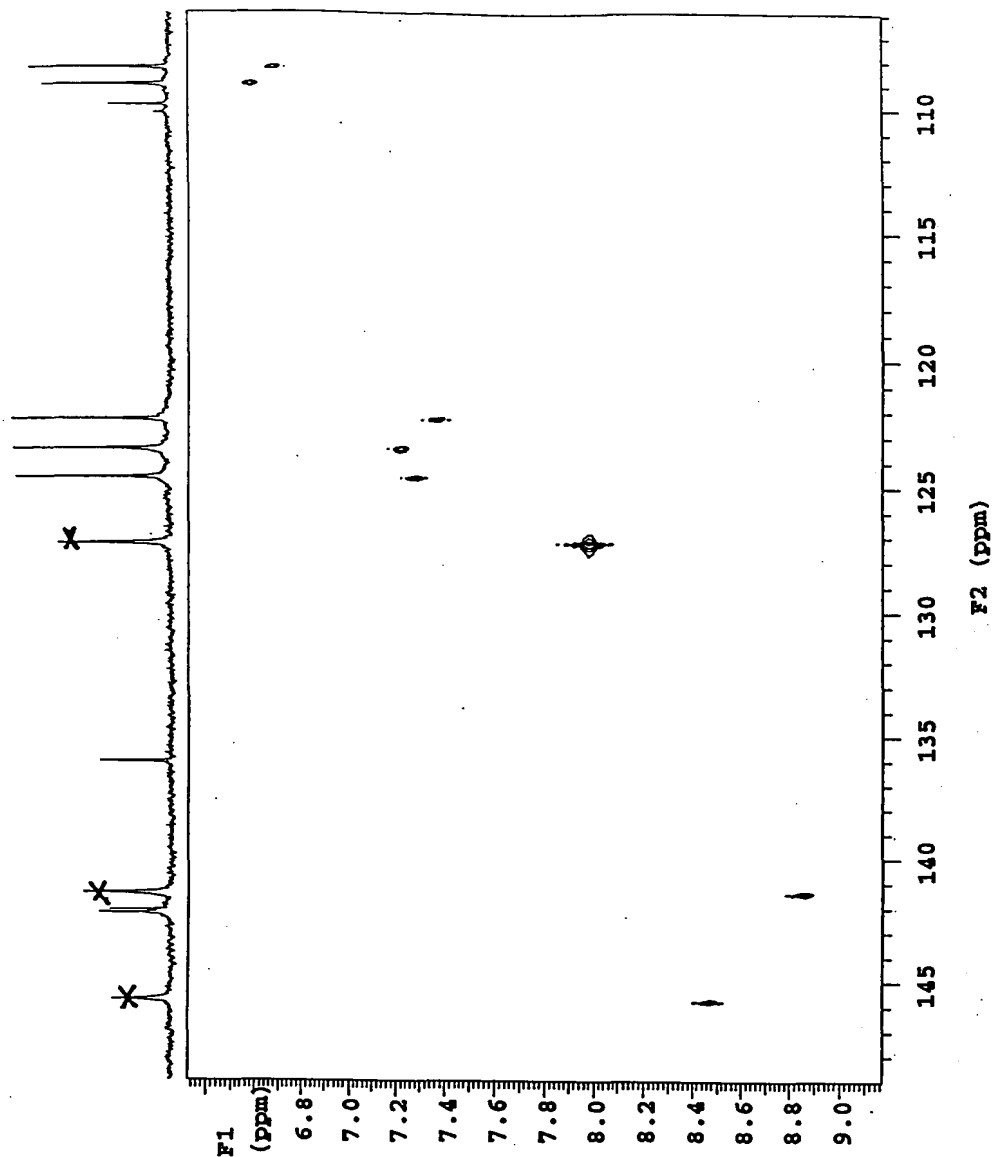
F1
(ppm)

F2 (ppm)

Fig. 28A

X=pyridinium acetate

HETCOR of Acetylated   in CDCl3.

Pulse Sequence: hetcor

Solvent: CDCl3
Temp. 26.0 C / 299.1 K
User: 1-14-87
INOVA-500 "nmr500"

Relax. delay 1.000 sec
Acq. time 0.082 sec
Width   25000.0 Hz
2D Width 4614.9 Hz
208 repetitions
256 increments
OBSERVE C13, 125.7033376 MHz
DECOUPLE H1, 499.9160715 MHz
Power 38 dB
on during acquisition
off during delay
WALTZ-16 modulated
DATA PROCESSING
  Line broadening 2.0 Hz
F1 DATA PROCESSING
  Line broadening 0.3 Hz
FT size 4096 x 1024
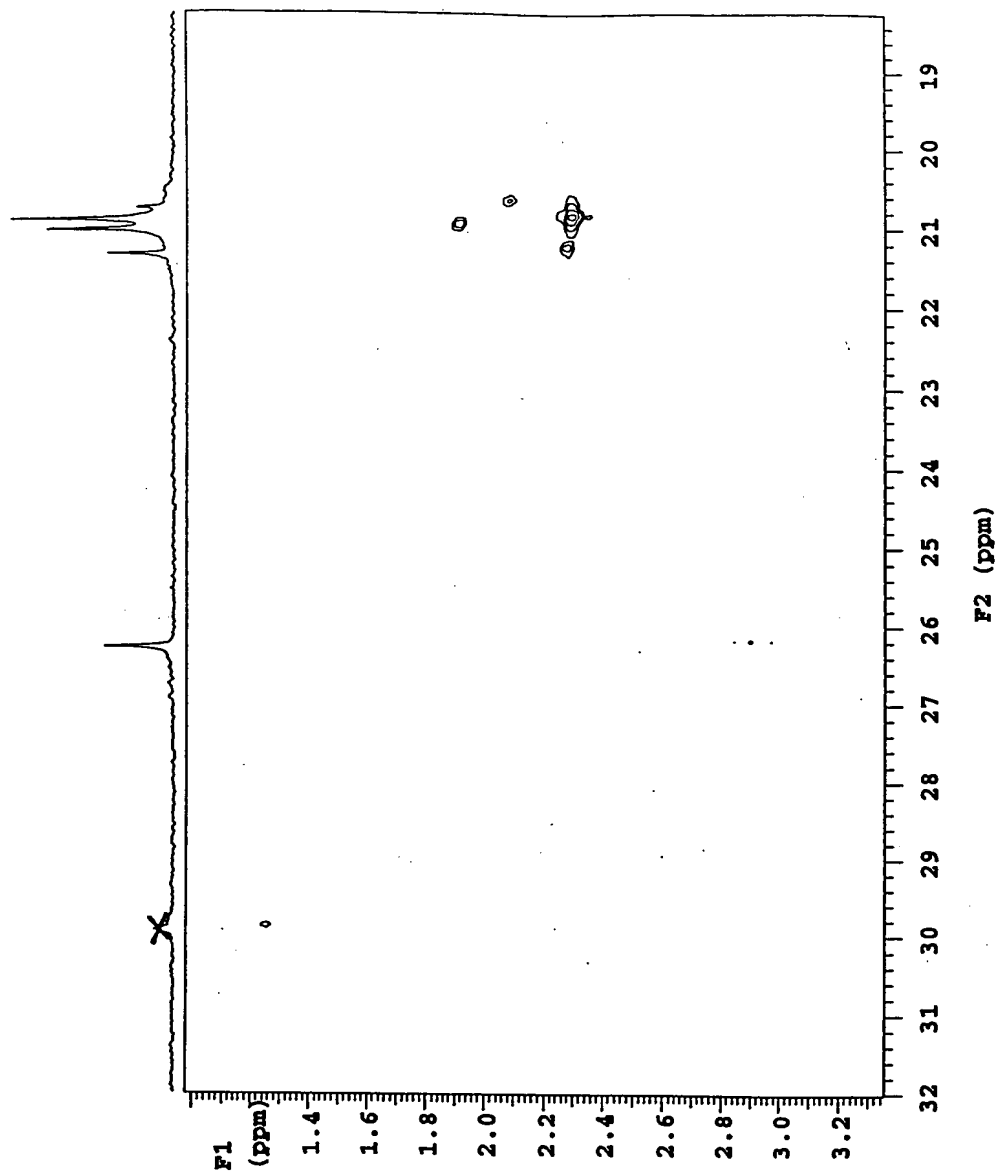Total time 16 hr, 46 min, 21 sec
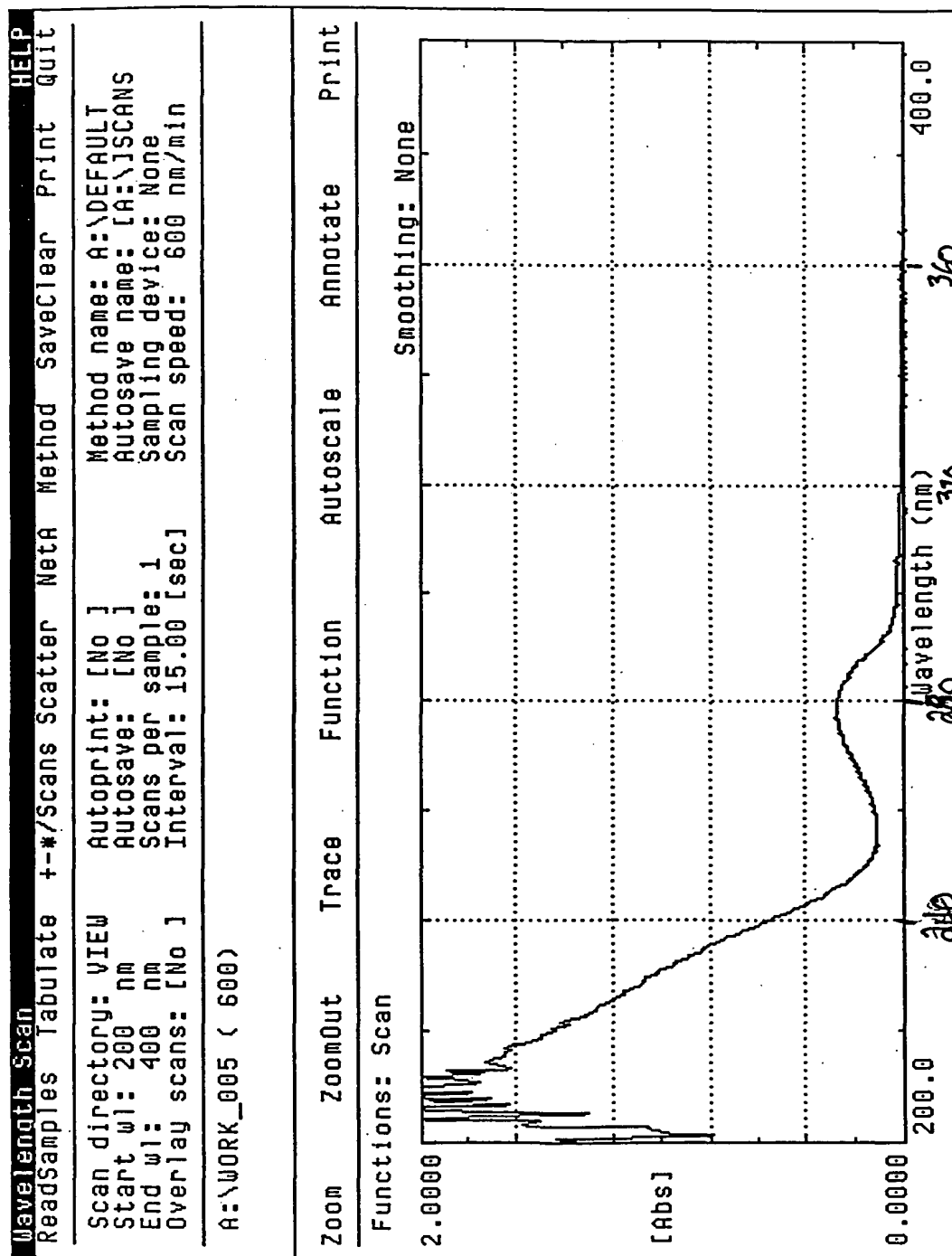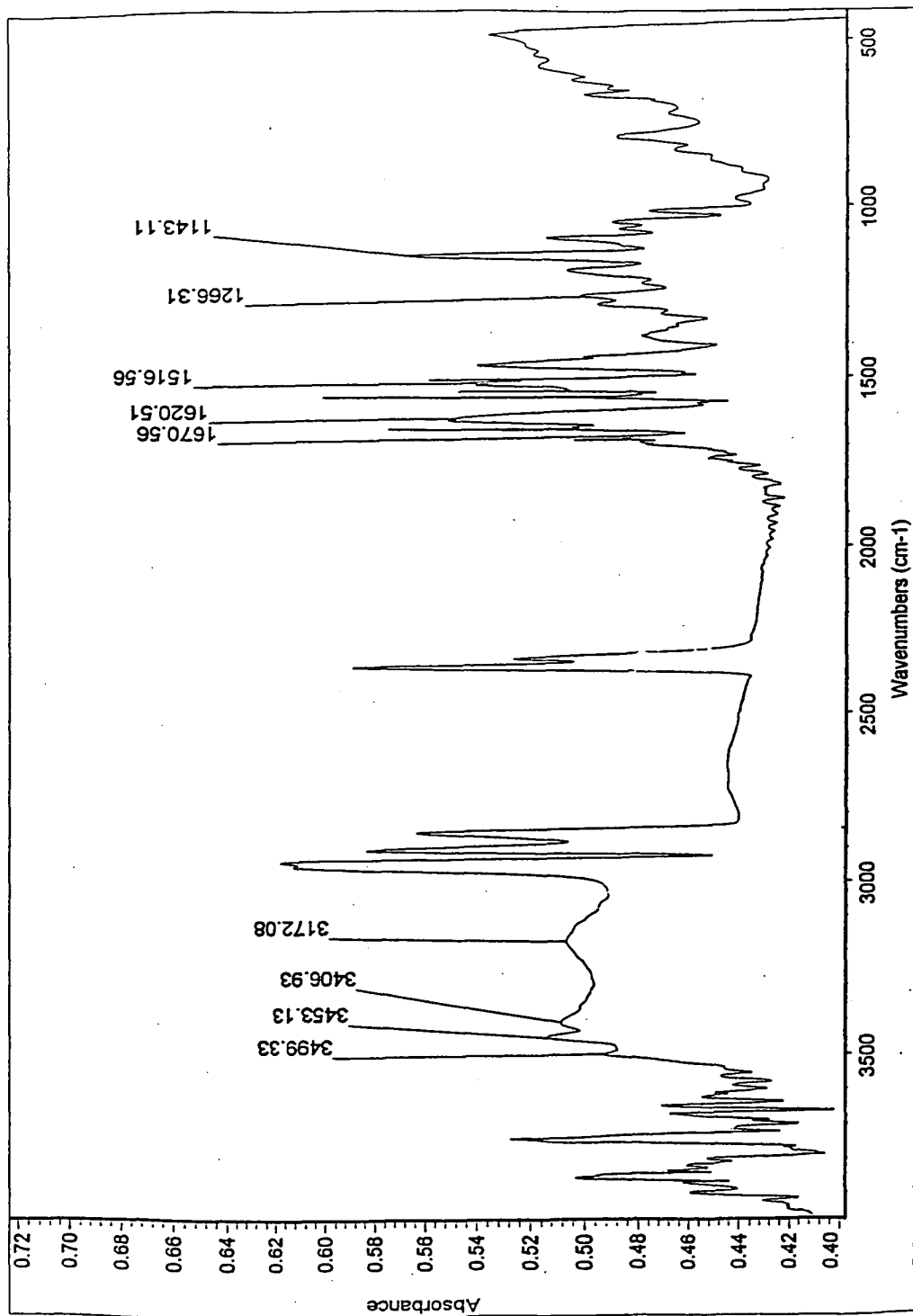
Fig. 28B

X=pyridinium acetate

HETCOR of Acetylated   in CDCl3.

Pulse Sequence: hetcor

Solvent: CDCl3
Temp. 26.0 C / 299.1 K
User: 1-14-87
INOVA-500 "nmr500"

Relax. delay 1.000 sec
Acq. time 0.082 sec
Width   25000.0 Hz
2D Width 4614.9 Hz
208 repetitions
256 increments
OBSERVE C13, 125.7033376 MHz
DECOUPLE H1, 499.9160715 MHz
Power 38 dB
on during acquisition
off during delay
WALTZ-16 modulated
DATA PROCESSING
  Line broadening 2.0 Hz
F1 DATA PROCESSING
  Line broadening 0.3 Hz
FT size 4096 x 1024
Total time 16 hr, 46 min, 21 sec

Fig. 28C

Fig. 29

Fig. 30

Fig. 31A

Aromatic Alcohols and Phenols

A

Aldrich 85,523-5   CAS [490-46-0]

(-)-Epicatechin, 97%

C₁₅H₁₄O₆
FW 290.27
mp 240°C d.

FT-IR: 1, 1120D

QE-300

DMSO-d₆

158.31 130.42 117.78° 114.80° 114.59° 98.31 94.89° 93.91° 77.88° 64.75° 28.07 155.01 155.67 144.28 144.23

Fig. 31B

C
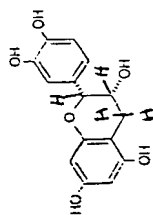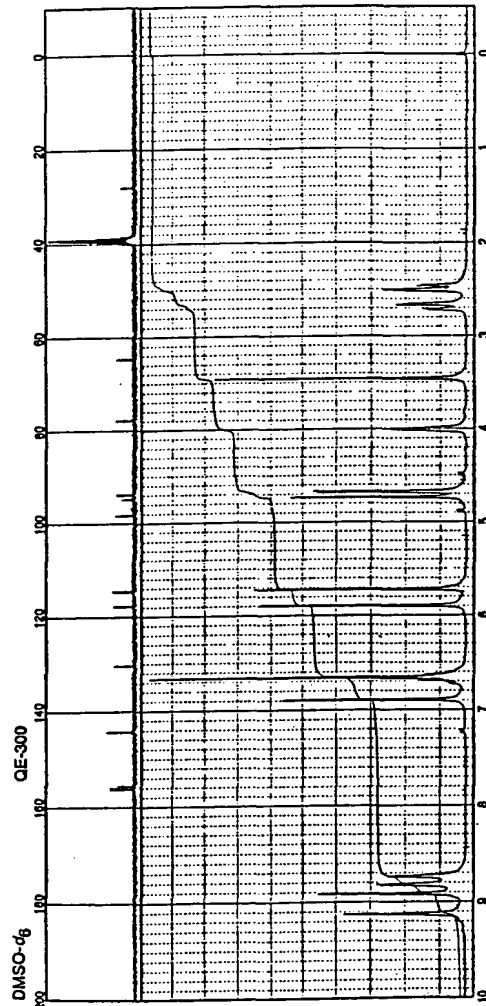
Aldrich 86,181-2

(±)-Catechin hydrate, 98%

C₁₅H₁₄O₆
FW 290.28
mp 210°C d.

QE-300

DMSO-d₆

xH₂O

156.25 155.97 155.15 144.65 130.43 118.24° 114.92° 114.35° 98.90 94.97° 93.70° 80.83° 68.17° 27.70

Fig. 32A

Fig. 32B

Fig. 33

Aβ$_{1-42}$ PTI-777   "H"   EDTA

4 kDa→

Fig. 34